chain nodes : 6 7 8 19 20 ring nodes : 1 2 3 4 5 9 10 11 12 13 14 ring/chain nodes : chain bonds : 2-6 5-17 6-7 6-19 7-8 8-11 8-20 ring bonds : 1-2 1-5 2-3 3-4 4-5 9-10 9-14 10-11 11-12 12-13 13-14exact/norm bonds : $1-2 \quad 1-5 \quad 2-3 \quad 2-6 \quad 3-4 \quad 4-5 \quad 5-17 \quad 6-7 \quad 6-19 \quad 7-8 \quad 8-11 \quad 8-20 \quad 9-10 \quad 9-14 \quad 10-11$ 11-12 12-13 13-14 isolated ring systems : containing 1 : 9 : G1:C, N G2:H,O,Cb,Ak Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 17:CLASS 19:CLASS 20:CLASS => s 11 sam L2 50 SEA SSS SAM L1 => s 11 full L3 1425 SEA SSS FUL L1 => file caplus => s 1350 L3 \Rightarrow s 14 and pd< aug 2003 23863473 PD< AUG 2003 (PD<20030800)

20 L4 AND PD< AUG 2003

L5

=> dis 15 1-20 bib abs hitstr

L5 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:1315937 CAPLUS Full-text

DN 144:11694

TI Derivatives of c-cyclopentyl glycine

IN Gelmi, Maria Luisa; Pocar, Donato

PA Uni degli Studi di Milano, Italy

SO Ital., 26 pp. CODEN: ITXXBY

DT Patent

LA Italian

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE				
ΡI	IT 1320075	В1	20031118	IT 2000-MI2300	20001024 <				
	IT 2000MI2300	A1	20020424						
PRAI	IT 2000-MI2300		20001024						

AB An invention describing the preparation of cyclopentyl glycine in pharmaceutical compns.

IT 870193-24-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (derivs. of c-cyclopentyl glycine)

RN 870193-24-1 CAPLUS

CN Cyclopentaneacetic acid, 3-amino- α -(benzoylamino)-4-formyl-2-hydroxy-, (1R,2S,3S,4R)-rel- (CA INDEX NAME)

- L5 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2003:531480 CAPLUS Full-text
- DN 140:22636
- TI Discovery and Evaluation of Terephthalic Acid Derivatives as Potent $\alpha 4\beta 1$ Integrin Antagonists
- AU Mueller, Gerhard; Albers, Markus; Hessler, Gerhard; Lehmann, Thomas E.; Okigami, Hiromi; Tajimi, Masaomi; Bacon, Kevin; Roelle, Thomas
- CS Central Research, Bayer AG, D-51368, Germany
- SO Journal of Enzyme Inhibition and Medicinal Chemistry (2003), 18(4), 309-312 CODEN: JEIMAZ; ISSN: 1475-6366
- PB Taylor & Francis Ltd.
- DT Journal
- LA English
- AB Terephthalic acid based derivs. containing β and γ -amino acid residues were prepared as antagonists of the leukocyte cell adhesion process that is mediated through the interaction of the very late antigen 4 (VLA-4) and the

vascular cell adhesion mol. 1 (VCAM-1). The compds. 2, 10-12, 14, and 16-17 inhibited the adhesion in a cell based assay in the low and sub micromolar range.

IT 634584-73-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(terephthalic acid derivs. as potent $\alpha 4\beta 1$ integrin antagonists)

RN 634584-73-9 CAPLUS

CN Benzoic acid, 4-[[[(1S,3R)-3-[[[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]cyclopentyl amino]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2003:512090 CAPLUS Full-text

DN 139:69528

TI Preparation of β -amino acid derivatives for the treatment of bacterial infections

IN Raju, Bore G.; Anandan, Sampathkumar; Trias, Joaquim; Herradura, Prudencio
S.; Mortell, Kathleen H.; Patel, Dinesh V.

PA USA

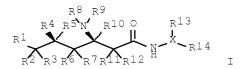
SO U.S. Pat. Appl. Publ., 35 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
 US 20030125389 US 2001-307875P MARPAT 139:69528	A1 P	20030703 20010725	US 2002-202630	20020725 <		



AB The invention is directed to β -amino acid derivs. I [R1 = NH2, NHMe, NHEt; R2-R4, R6-R10, R12 = H, alkyl; R5 = H, F, C1, OR16, SOR17, SO2R17 (R16 = H,

alkyl; R17 = alkyl, aryl); R11 = H, alkyl, SH, F; R13 = H, alkyl when X is N or is not a substituent when X is O; R14 = CHR15CO2H, C6H4CO2H, C4H3NCO2H, C4H2SCO2H, C4H2OCO2H, CHR15SO3H, CHR15SO2NH2, CHR15P(O)MeOH, where R15 is H or alkyl; or R2-R8, R10, R11 may form cyclic groups with some of the other R groups (with the proviso that the derivative is not negamycin or deoxynegamycin)] or their pharmaceutically-acceptable salts, prodrugs, or isomers that are useful for the treatment of bacterial infections in mammals. Thus, [N'-[(3R)-amino-(5R)-hydroxy-6- (methylamino)hexanoyl]-N-methylhydrazino]acetic acid was prepared by a multistep procedure in which pentafluorophenyl <math>6-azido-(3R)-(tert-butoxycarbonylamino)-(5R)-(tert-butyldimethylsilyloxy)hexanoate is coupled to tert-Bu (N-methylhydrazino)acetate.

IT 551964-51-3P 551964-52-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of $\beta\text{-amino}$ acid derivs. for treatment of bacterial infections)

RN 551964-51-3 CAPLUS

CN Cyclopentanepropanoic acid, $3-[[(1,1-\text{dimethylethoxy})\,\text{carbonyl}]\,\text{amino}]-\beta-[[(4-\text{methoxyphenyl})\,\text{methyl}]\,\text{amino}]-, 1,1-\text{dimethylethyl}\,\text{ester,}$ (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 551964-52-4 CAPLUS

CN Cyclopentanepropanoic acid, $3-[[(1,1-\text{dimethylethoxy})\text{carbonyl}]\text{amino}]-\beta-[[(1,1-\text{dimethylethoxy})\text{carbonyl}][(4-\text{methoxyphenyl})\text{methyl}]\text{amino}]-, 1,1-\text{dimethylethyl ester, }(1S,3R)- (CA INDEX NAME)$

- L5 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2002:293601 CAPLUS Full-text
- DN 136:309764
- TI Preparation and use of aromatic carboxylic acids as integrin antagonists
- IN Lehmann, Thomas; Roelle, Thomas; Albers, Markus; Mueller, Gerhard; Heszler, Gerhard; Fischer, Ruediger; Tajimi, Masaomi; Ziegelbauer, Karl;

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Bacon, Kevin; Hasegawa, Haruki; Okigami, Hiromi
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PA Bayer Aktiengesellschaft, Germany

SO PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1 PATENT NO.						KIND DATE				APPLICATION NO.					DATE				
									•										
ΡI	WO	WO 2002030876			A2		2002	0418		WO 2001-EP11585						20011008 <			
	WO	2002	0308	76		A3 20020919													
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,	
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	
			US,	UZ,	VN,	YU,	ZA,	ZW											
		RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
			ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG		
	GB	2367	817			Α		2002	0417		GB 2	000-	2469	5		20001009 <			
	AU	2002	0182	14		Α		2002	0422		AU 2	002-	1821	4		2	0011	> 80C	
PRAI	GB	2000	-246	95		A 20001009													
	WO	2001	-EP1	1585		W		2001	1008										
OS	MAI	RPAT	136:	3097	64														
GI																			

AB Title compds. R6-X-A-Cyc-Y-R1 [Cyc = (un)substituted 5-6-membered carbocycle; A = NR(H, alkyl)C(O), C(O)NR(H, alkyl); R1 = 4-9-membered (un)saturated or aromatic cyclic residue which can contain 0 to 3 heteroatoms; R6 = Ph, 5-6-membered aromatic heterocyclic residue; X = bond, alkyl; Y = NR(H, alkyl)C(O), C(O)NR(H, alkyl); A-Cyc-Y represents a γ-amino acid; I] were prepared For example, (1S*,3R*)-3-[(tert- Butoxycarbonyl)amino]cyclopentanecarboxylic acid was condensed with Me 4-aminobenzoate (THF, NMM, i-BuOCOCl), the product deprotected (CH2Cl2, TFA) and the resulting amine•TFA salt was condensed with [4-[[(2-Methylphenyl)amino]carbonyl]amino]phenyl]acetic acid (DMF, EDCI, HOBT, DIPEA) to afford II. II was among example compds. that had IC50 ≤ 10 μM for VCAM-1. I are useful for the treatment of atherosclerosis, asthma, chronic obstructive pulmonary disease, etc.

IT 1100979-24-5

RL: PRPH (Prophetic)

(Preparation and use of aromatic carboxylic acids as integrin antagonists)

RN 1100979-24-5 CAPLUS

CN Cyclopentanecarboxamide, 3-amino-N-[[4-[[(2-methylphenyl)amino]carbonyl]amino]phenyl]methyl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 410080-11-4P 410080-13-6P 410080-16-9P 410080-18-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation and use of aromatic carboxylic acids as integrin antagonists)

RN 410080-11-4 CAPLUS

CN Benzoic acid, 4-[[[(1R,3S)-3-[[[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]cyclopentyl amino]carbonyl]-, methyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 410080-13-6 CAPLUS

CN Benzoic acid, 3,5-dimethyl-4-[[[(1R,3S)-3-[[[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]cyclopentyl amino]carbonyl]-, methyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 410080-16-9 CAPLUS

CN Benzoic acid, 4-[[[(1R,3S)-3-[[[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]cyclopentyl

]amino]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 410080-18-1 CAPLUS

CN Benzoic acid, 3,5-dimethyl-4-[[[(1R,3S)-3-[[[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]cyclopentyl amino]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Ho}_2\text{C} \\ \text{Me} \end{array} \begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \end{array} \begin{array}{c} \text{N} \\ \text{N} \end{array} \begin{array}{c} \text{N} \\ \text{N} \\ \text{N} \end{array} \begin{array}{c} \text{N} \\ \text{N} \end{array} \begin{array}{c} \text{N} \\ \text{N} \\ \text{N} \end{array} \begin{array}{c} \text{$$

IT 410080-04-5P 410080-08-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation and use of aromatic carboxylic acids as integrin antagonists)

RN 410080-04-5 CAPLUS

CN Carbamic acid, [(1R,3S)-3-[[[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]cyclopentyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 410080-08-9 CAPLUS

CN Cyclopentanecarboxamide, 3-amino-N-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]methyl]-, (1R,3S)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 410080-07-8 CMF C21 H26 N4 O2 Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2002:293599 CAPLUS Full-text

DN 136:309763

TI Preparation and use of aromatic carboxylic acids as integrin antagonists

IN Roelle, Thomas; Lehmann, Thomas; Albers, Markus; Hessler, Gerhard; Mueller, Gerhard; Tajimi, Masaomi; Ziegelbauer, Karl; Bacon, Kevin; Hasegawa, Haruki; Okigami, Hiromi

PA Bayer Aktiengesellschaft, Germany

SO PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	PATENT NO.						KIND DATE]	APPLICATION NO.					DATE			
ΡI	-	2002030874						1	WO 2001-EP11584					20011008 <			-		
	WO 2	00203	308	/ 4		A3	20020725												
	Ţ	W: Z	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		(CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	
		(GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	
		I	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	PH,	PL,	
		I	PΤ,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	
		Ţ	US,	UZ,	VN,	YU,	ZA,	ZW											
]	RW: (GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
		Ι	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
		I	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG		
	GB 23	36935	57			Α		2002	0529	(GB 2	000-	2469.	2		21	0001	009 <	_
	AU 2	00201	1592	26		Α	20020422				AU 2002-15926					20011008 <			
PRAI	GB 2	000-2	2469	92		Α		2000	1009										
	WO 2	001-E	EP11	1584		W		2001	1008										

AB Title compds. R6-X-A-Cyc-Y-[CR3R4]n-Z [Cyc = (un)substituted 5-6-membered carbocycle; A = NR(H, alkyl)C(O), C(O)NR(H, alkyl); R3-4 = alkoxy, amino, Ph, benzyl, benzyloxy, phenoxy, etc. or R3-4 = together with the carbon atom to which they are attached form a 5-7-membered ring; R6 = Ph, 5-6-membered aromatic heterocyclic residue; X = bond, alkyl; Y = NR(H, alkyl)C(O), C(O)NR(H, alkyl); Z = carboxy, amide, sulfonamide, sulfinate, etc.; I] were prepared For example, (1S*,3R*)-3-[(tert-Butoxycarbonyl)amino]cyclopentanecarboxylic acid was condensed with N-(4-Aminophenyl)-N'-(2-methylphenyl)urea (DMF, EDCI, HOBt, i-PrNEt2), the product deprotected (CH2Cl2, TFA) and the resulting amine•TFA salt was condensed with Fmoc-L-glutamic acid benzyl ester (DMF, EDCI, HOBT, DIPEA) and finally deprotected to afford crystalline II. Several example compds. had IC50 ≤ 1 μM for VCAM-1. I are useful for the treatment of atherosclerosis, asthma, chronic obstructive pulmonary disease, etc.

IT 410080-04-5P 410080-08-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; Preparation and use of aromatic carboxylic acids as integrin antagonists)

RN 410080-04-5 CAPLUS

CN Carbamic acid, [(1R, 3S)-3-[[[4-[[(2-

methylphenyl)amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]cyclopentyl
]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 410080-08-9 CAPLUS

CN Cyclopentanecarboxamide, 3-amino-N-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]methyl]-, (1R,3S)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 410080-07-8 CMF C21 H26 N4 O2 Relative stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2002:142517 CAPLUS Full-text

DN 136:200102

 ${\tt TI}$ Preparation of N-cyclopentylpiperidines as modulators of chemokine receptor activity

IN Yang, Lihu; Butora, Gabor; Parsons, William H.; Pasternak, Alexander

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 274 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	PATENT NO.						KIND DATE			APPLICATION NO.						DATE			
ΡI	WO	2002	0138	24		A1		2002	0221	,	WO 2	001-	US25	335		21	0010	813 <	
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	
			RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	
			VN,	YU,	ZA,	ZW													
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
			ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG		
	CA	2419	194			A1		2002	0221	1	CA 2001-2419194					21	0010	813 <	
	CA	2419	194			С		2007	1016										
	ΑU	2001	0833	45		Α		2002	0225		AU 2	001-	8334	5		21	0010	813 <	
	EP	1318	811			A1		2003	0618		EP 2	001-	9621	40		21	0010	813 <	
	EP	1318	811			В1		2006	0830										
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	

		IE, SI,	ьΤ, ь	ı V ,	FI, RO, MK,	CY, AI	, TR		
	JΡ	2004506013		Τ	20040226	JP	2002-518967	20010813	
	AU	2001283345		В2	20050324	AU	2001-283345	20010813	
	ΑT	337782		Τ	20060915	AT	2001-962140	20010813	
	ES	2271063		Т3	20070416	ES	2001-962140	20010813	
	US	20020049222		Α1	20020425	US	2001-931454	20010816	<
	US	6545023		В2	20030408				
PRAI	US	2000-225923P		Ρ	20000817				
	WO	2001-US25335		W	20010813				
OS	MAF	RPAT 136:20010	2						
GI									

$$R^3$$
 R^4
 R^5
 R^4
 R^6
 R^5
 R^4
 R^2
 R^1
 R^5
 R^6
 R^6

The title compds. I (R1 = H, (un)substituted C0-6alkyl-Y-C1-6alkyl and C0-AΒ 6alkyl-Y-C0-6alkyl-C3-7cycloalkyl-C0-6alkyl wherein Y = bond, O, S, SO, SO2 and alkylamine; R2 = (un)substituted C0-6alkyl-Ph and C0-6alkyl-heterocycle; R3 = (un)substituted C0-6alkyl-phenyl; R4 = H, OH, alkyl, alkylhydroxy, CN, etc. or R3 and R4 may be joined to form a ring selected from 1H-indene, 2,3dihydro-1H-indene, 1,3-dihydrobenzofuran, 1,3-dihydroisobenzofuran, 2,3dihydrobenzothiofuran, and 1,3-dihydroisobenzothiofuran or R3 and R5 or R4 and R6 may be joined to form a (un)substituted Ph ring; R5 and R6 may also be independently selected from H, OH, alkyl, halo, etc.; X = NR7, O, CONR7, CH2O, NR7CO, CO2, OCO, CH2(NR7)CO, N(COR7) and CH2N(COR7) where R7 = H, (un) substituted -alkyl, -benzyl, -Ph, and -C1-6alkyl-C3-6cycloalkyl) are prepared and disclosed as modulators of chemokine receptor activity. Thus, II was prepared by ozonolysis of Et 3-methylenecyclopentane carboxylate, substitution with trans-3-methyl-4-(1,1-spiroindenyl)piperidine (preparation given), hydrolysis of intermediate Et spiropiperidinylmethylcyclpentane carboxylate and subsequent amidation by 3-trifluoromethyl-5-fluorobenzylamine. In particular, these compds. are useful as modulators of the chemokine receptor CCR-2 (no data). As chemokine receptor modulators, these compds. may be useful as anti-inflammatory and antirheumatic agents.

IT 400771-03-1P 400771-11-1P 400771-12-2P 400771-18-8P 400771-19-9P 400771-28-0P 400771-29-1P 400771-36-0P 400771-38-2P 400771-40-6P 400852-22-4P 400852-25-7P 400852-26-8P 400852-27-9P 400852-28-0P 400852-31-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(intermediate; preparation of chemokine receptor modulators N-cyclopentylpiperidines useful as anti-inflammatory and antirheumatic agents)

RN 400771-03-1 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-[4-(4-fluorophenyl)-1-piperidinyl]-1[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]cyclopentyl], 1,1-dimethylethyl ester (CA INDEX NAME)

RN 400771-11-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1[(1R,2R)-2-cyanocyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, rel(CA INDEX NAME)

Relative stereochemistry.

RN 400771-12-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1[(1R,2S)-2-cyanocyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, rel(CA INDEX NAME)

Relative stereochemistry.

RN 400771-18-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyano-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,3S)-rel- (CA INDEX NAME)

RN 400771-19-9 CAPLUS

CN Cyclopentanecarboxamide, 1-cyano-3-[4-(4-fluorophenyl)-1-piperidinyl]-N[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400771-28-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]ethyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400771-29-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(2-hydroxyethyl)-, (1R,3S)-rel- (CA INDEX NAME)

RN 400771-36-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[[(methylsulfonyl)oxy]methyl]- (CA INDEX NAME)

RN 400771-38-2 CAPLUS

CN Cyclopentanecarboxylic acid, 1-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400771-40-6 CAPLUS

CN Cyclopentanecarbonyl chloride, 1-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, hydrochloride (1:1), (1R,3R)-rel- (CA INDEX NAME)

HC1

RN 400852-22-4 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[1-[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]cyclopentyl]-,
1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 400852-25-7 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-(azidomethyl)cyclopropyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel- (CA INDEX NAME)

RN 400852-26-8 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-(azidomethyl)cyclopropyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400852-27-9 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-(aminomethyl)cyclopropyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel- (CA INDEX NAME)

RN 400852-28-0 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-(aminomethyl)cyclopropyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400852-31-5 CAPLUS

CN Carbamic acid, [2-[1-[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]cyclopentyl]cyclopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

400765-28-8P 400765-32-4P 400766-20-3P ΙT 400766-21-4P 400766-24-7P 400766-32-7P 400766-37-2P 400766-43-0P 400766-45-2P 400766-46-3P 400766-55-4P 400767-91-1P 400769-25-7P 400769-26-8P 400852-15-5P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (target compound; preparation of chemokine receptor modulators N-cyclopentylpiperidines useful as anti-inflammatory and antirheumatic agents) RN 400765-28-8 CAPLUS Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-CN 5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-, (1S,3R)-

Absolute stereochemistry.

(CA INDEX NAME)

RN 400765-32-4 CAPLUS
CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methyl-2-propen-1-yl)-, (1R,3R)-(CA INDEX NAME)

RN 400766-20-3 CAPLUS

CN Cyclopentanecarboxamide, 3-[(3R,4S)-4-(4-fluorophenyl)-3-hydroxy-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

$$F_{3}C$$
 H
 $i-Pr$
 O
 O
 R
 O
 O
 R
 O
 O
 R
 O
 O
 R
 O
 R

RN 400766-21-4 CAPLUS

CN Cyclopentanecarboxamide, 3-(4-fluoro-4-phenyl-1-piperidinyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)- (CA INDEX NAME)

RN 400766-24-7 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-[4-(4-fluorophenyl)-1-piperidinyl]-1[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]cyclopentyl](CA INDEX NAME)

RN 400766-32-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]-1-(2-bis(trifluoromethyl)phenyl]methyl]methyl]methyl]methyl]methyl]methyl]methyl]methylloganyphenylmethyl

cyanocyclopropyl)-3-[4-(4-fluorophenyl)-1-piperidinyl]- (CA INDEX NAME)

RN 400766-37-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[2-[(hydroxyamino)iminomethyl]cyclopropyl]- (CA INDEX NAME)

RN 400766-43-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(2-hydroxyethyl)- (CA INDEX NAME)

RN 400766-45-2 CAPLUS

CN Cyclopentanecarboxylic acid, 1-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, ethyl ester, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$F_{3}C$$

$$CF_{3}$$

$$CF_{3}$$

$$CF_{3}$$

RN 400766-46-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(hydroxymethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{F}_3\text{C} & & & \\ & & & \\ & & & \\ \text{HO}-\text{CH}_2 & & \\ \end{array}$$

RN 400766-55-4 CAPLUS

CN Cyclopentanecarboxamide, 1-(aminomethyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-(CA INDEX NAME)

$$\begin{array}{c} \text{CF3} \\ \text{F}_{3}\text{C} \\ \text{H}_{2}\text{N} - \text{CH}_{2} \\ \end{array}$$

RN 400767-91-1 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-25-7 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-(aminocarbonyl)cyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 400769-26-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1[(1S,2S)-2-cyanocyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-,
(1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400852-15-5 CAPLUS

CN Cyclopentanecarboxamide, 1-(2-aminocyclopropyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

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ΙT
     400763-40-8P 400763-46-4P 400763-53-3P
     400763-58-8P 400763-63-5P 400763-69-1P
     400763-72-6P 400763-75-9P 400763-77-1P
     400763-79-3P 400763-81-7P 400763-83-9P
     400763-85-1P 400763-88-4P 400763-89-5P
     400763-91-9P 400763-93-1P 400763-95-3P
     400763-97-5P 400764-00-3P 400764-02-5P
     400764-05-8P 400764-10-5P 400764-12-7P
     400764-14-9P 400764-16-1P 400764-18-3P
     400764-20-7P 400764-22-9P 400764-24-1P
     400764-28-5P 400764-30-9P 400764-32-1P
     400764-34-3P 400764-36-5P 400764-38-7P
     400764-39-8P 400764-40-1P 400764-43-4P
     400764-44-5P 400764-46-7P 400764-48-9P
     400764-49-0P 400764-51-4P 400764-53-6P
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400764-55-8P 400764-57-0P 400764-59-2P
400764-60-5P 400764-62-7P 400764-66-1P
400764-68-3P 400764-70-7P 400764-71-8P
400764-73-0P 400764-74-1P 400764-75-2P
400764-76-3P 400764-78-5P 400764-80-9P
400764-81-0P 400764-83-2P 400764-85-4P
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400764-95-6P 400764-97-8P 400764-99-0P
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400765-24-4P 400765-26-6P 400765-30-2P
400765-34-6P 400765-36-8P 400765-38-0P
400765-39-1P 400765-41-5P 400765-44-8P
400765-51-7P 400765-55-1P 400765-58-4P
400765-60-8P 400765-62-0P 400765-64-2P
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400765-91-5P 400765-92-6P 400765-93-7P
400765-94-8P 400765-95-9P 400765-96-0P
400765-97-1P 400765-98-2P 400765-99-3P
400766-00-9P 400766-01-0P 400766-02-1P
400766-03-2P 400766-04-3P 400766-05-4P
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400766-12-3P 400766-13-4P 400766-22-5P
400766-23-6P 400766-25-8P 400766-27-0P
400766-28-1P 400766-29-2P 400766-30-5P
400766-31-6P 400766-33-8P 400766-34-9P
400766-35-0P 400766-36-1P 400766-38-3P
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400766-63-4P 400766-65-6P 400766-67-8P
400766-69-0P 400766-72-5P 400766-74-7P
400766-76-9P 400766-77-0P 400766-79-2P
400766-81-6P 400766-83-8P 400766-85-0P
400766-87-2P 400766-92-9P 400766-95-2P
400766-98-5P 400767-01-3P 400767-03-5P
400767-06-8P 400767-09-1P 400767-11-5P
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400767-31-9P 400767-34-2P 400767-38-6P
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400767-56-8P 400767-58-0P 400767-60-4P
400767-63-7P 400767-66-0P 400767-69-3P
400767-71-7P 400767-73-9P 400767-75-1P
400767-76-2P 400767-77-3P 400767-78-4P
400767-79-5P 400767-80-8P 400767-83-1P
400767-85-3P 400767-86-4P 400767-87-5P
400767-88-6P 400767-89-7P 400767-90-0P
400767-92-2P 400767-93-3P 400767-95-5P
400767-96-6P 400767-97-7P 400767-98-8P
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400767-99-9P 400768-00-5P 400768-01-6P 400768-02-7P 400768-03-8P 400768-04-9P 400768-05-0P 400768-06-1P 400768-07-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of chemokine receptor modulators N-cyclopentylpiperidines useful as anti-inflammatory and antirheumatic agents)

RN 400763-40-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-46-4 CAPLUS

CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-53-3 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,5-dichlorophenyl)methyl]-1-methyl-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-58-8 CAPLUS

CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-63-5 CAPLUS

CN Cyclopentanecarboxamide, N-[(3-fluorophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400763-69-1 CAPLUS

CN Cyclopentanecarboxamide, N-[(3-chlorophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-72-6 CAPLUS

CN Cyclopentanecarboxamide, N-[(3-bromophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-75-9 CAPLUS

CN Cyclopentanecarboxamide, N-[(3-iodophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400763-77-1 CAPLUS

CN Cyclopentanecarboxamide, N-[(3-methoxyphenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-79-3 CAPLUS

CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[3-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

RN 400763-81-7 CAPLUS

CN Cyclopentanecarboxamide, 1-methyl-N-[(3-methylphenyl)methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-83-9 CAPLUS

CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-(3-pyridinylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-85-1 CAPLUS

CN Cyclopentanecarboxamide, N-[(4-chlorophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400763-88-4 CAPLUS

CN Cyclopentanecarboxamide, N-[(2-chlorophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-89-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-chloro-5-(trifluoromethyl)phenyl]methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400763-91-9 CAPLUS

CN Cyclopentanecarboxamide, N-[(2-methoxyphenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-93-1 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,5-difluorophenyl)methyl]-1-methyl-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-95-3 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,4-difluorophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400763-97-5 CAPLUS

CN Cyclopentanecarboxamide, N-[(2,5-difluorophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-00-3 CAPLUS

CN Cyclopentanecarboxamide, N-[(4-methoxyphenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400764-02-5 CAPLUS

CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-05-8 CAPLUS

CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-10-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400764-12-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 400764-14-9 CAPLUS

CN Cyclopentanecarboxamide, 1-ethyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400764-16-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-ethyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-18-3 CAPLUS

CN Cyclopentanecarboxamide, 1-ethyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-20-7 CAPLUS

CN Cyclopentanecarboxamide, 1-ethyl-N-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400764-22-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[4-chloro-3-(trifluoromethyl)phenyl]methyl]-1-ethyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-24-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-propyl- (CA
INDEX NAME)

RN 400764-28-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 400764-30-9 CAPLUS

CN Cyclopentanecarboxamide, 1-(cyclopropylmethyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-32-1 CAPLUS

CN Cyclopentanecarboxamide, 1-(cyclobutylmethyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400764-34-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclobutylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-36-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-hexyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400764-38-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-hexyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-39-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1- (methoxymethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400764-40-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(methoxymethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-43-4 CAPLUS

CN Cyclopentanecarboxamide, 1-(methoxymethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-44-5 CAPLUS

CN Cyclopentanecarboxamide, 1-(3-azidopropyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400764-46-7 CAPLUS

CN Cyclopentanecarboxamide, 1-(3-aminopropyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-48-9 CAPLUS

CN Cyclopentanecarboxamide, 1-[3-(acetylamino)propyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400764-49-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-[3[(methylsulfonyl)amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 CF_3
 Me
 R
 R

RN 400764-51-4 CAPLUS

CN Cyclopentanecarboxamide, N-[(2-ethoxyphenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

RN 400764-53-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[2-(difluoromethoxy)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

RN 400764-55-8 CAPLUS

CN Cyclopentanecarboxamide, N-[(5-chloro-2-methoxyphenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

RN 400764-57-0 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[2-methoxy-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)- (CA INDEX NAME)

RN 400764-59-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[2-chloro-5-(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

RN 400764-60-5 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-(1-methylethoxy)phenyl]methyl]-1-(1-methylethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ \end{array}$$

RN 400764-62-7 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-[(methylsulfonyl)amino]phenyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ \end{array}$$

RN 400764-66-1 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-[(trifluoromethyl)thio]phenyl]methyl]- (CA INDEX NAME)

RN 400764-68-3 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]-(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 400764-70-7 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,4-dichlorophenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

RN 400764-71-8 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,4-difluorophenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

RN 400764-73-0 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[(2-methoxyphenyl)methyl]-1-(1-methylethyl)- (CA INDEX NAME)

RN 400764-74-1 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-(phenylmethyl)- (CA INDEX NAME)

RN 400764-75-2 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-76-3 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-(1-methyl-1-phenylethyl)- (CA INDEX NAME)

RN 400764-78-5 CAPLUS

CN Benzeneacetic acid, α -[[[3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]amino]-3-(trifluoromethyl)-, methyl ester (CA INDEX NAME)

RN 400764-80-9 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 400764-81-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 400764-83-2 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N- [[3-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 400764-85-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-(difluoromethoxy)phenyl]methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 400764-87-6 CAPLUS

CN Cyclopentanecarboxamide, N-[(3-chlorophenyl)methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 400764-91-2 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-93-4 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[3-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-95-6 CAPLUS

CN Cyclopentanecarboxamide, N-([1,1'-biphenyl]-3-ylmethyl)-1-cyclopropyl-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400764-97-8 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-(CA INDEX NAME)

RN 400764-99-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyclopropyl-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)- (CA INDEX NAME)

RN 400765-01-7 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 400765-03-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyclopropyl-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 400765-05-1 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 400765-07-3 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-3-(4-phenyl-1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 400765-09-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]- (CA INDEX NAME)

RN 400765-10-8 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 400765-12-0 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

$$\mathsf{F}_3\mathsf{C} = \mathsf{NH} =$$

RN 400765-14-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyclopropyl-3-(1-piperidinyl)- (CA INDEX NAME)

RN 400765-16-4 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(1-piperidinyl)- (CA INDEX NAME)

RN 400765-18-6 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-3-(1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 400765-20-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400765-22-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400765-24-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-

[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-(methylthio)(CA INDEX NAME)

Absolute stereochemistry.

RN 400765-26-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-(methylthio)(CA INDEX NAME)

Absolute stereochemistry.

RN 400765-30-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-hydroxy-1-methylethyl)-, (1S,3R)-(CA INDEX NAME)

RN 400765-34-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400765-36-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3S)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 400765-38-0 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400765-39-1 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N-[[3-(trifluoromethoxy)phenyl]methyl]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400765-41-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-(difluoromethoxy)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400765-44-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400765-51-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclopropylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400765-55-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(2-methoxyethoxy)methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400765-58-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400765-60-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 400765-62-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)- (CA INDEX NAME)

RN 400765-64-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)- (CA INDEX NAME)

RN 400765-65-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 400765-67-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(2-methylpropyl)- (CA INDEX NAME)

RN 400765-68-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(1-piperidinyl)- (CA INDEX NAME)

RN 400765-70-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-hydroxy-4-phenyl-1-piperidinyl)-1-(2-methylpropyl)- (CA INDEX NAME)

RN 400765-72-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 400765-73-3 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)- (CA INDEX NAME)

RN 400765-74-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-

(2-methylpropyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)- (CA INDEX NAME)

RN 400765-76-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(1-piperidinyl)- (CA INDEX NAME)

RN 400765-78-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(4-hydroxy-4-phenyl-1-piperidinyl)-1-(2-methylpropyl)- (CA INDEX NAME)

RN 400765-79-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 400765-80-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

RN 400765-81-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)- (CA INDEX NAME)

RN 400765-82-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(1-piperidinyl)- (CA INDEX NAME)

RN 400765-85-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-hydroxy-4-phenyl-1-piperidinyl)-1-(1-methylethyl)- (CA INDEX NAME)

HO
$$i-Pr$$
 C $NH-CH2$ $CF3$

RN 400765-87-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(3R,4S)-3-methyl-4-phenyl-1-piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400765-88-0 CAPLUS

CN Benzoic acid, 2-[1-[3-[[[[3,5-

bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1methylethyl)cyclopentyl]-4-piperidinyl]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} & \text{MeO-} \\ \hline \\ \text{F_3C} & \text{CH2-NH-} \\ \hline \end{array}$$

RN 400765-89-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-1-(1-methylethyl)- (CA INDEX NAME)

$$_{\mathrm{F}_{3}\mathrm{C}}$$
 $_{\mathrm{CH}_{2}-\mathrm{NH}-\mathrm{C}}$ $_{\mathrm{C}}$ $_{\mathrm{Pr}-\mathrm{i}}$ $_{\mathrm{O}}$

RN 400765-90-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(3-methyl-1-piperidinyl)- (CA INDEX NAME)

RN 400765-91-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(3,5-dimethyl-1-piperidinyl)-1-(1-methylethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{i-Pr} & \text{O} \\ & \text{N} & \text{CH2} & \text{CF3} \end{array}$$

RN 400765-92-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-methyl-1-piperidinyl)- (CA INDEX NAME)

Me i-Pr
$$C$$
 NH-CH2 CF_3

RN 400765-93-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(3,4-dihydro-2(1H)-isoquinolinyl)-1-(1-methylethyl)- (CA INDEX NAME)

RN 400765-94-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[4-(trifluoromethyl)-1-piperidinyl]- (CA INDEX NAME)

RN 400765-95-9 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-

methylethyl)cyclopentyl]-, ethyl ester (CA INDEX NAME)

$$EtO = 0$$

$$N = 0$$

$$CF3$$

$$CF3$$

$$CF3$$

RN 400765-96-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(3-hydroxy-1-piperidinyl)-1-(1-methylethyl)- (CA INDEX NAME)

RN 400765-97-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[3-(hydroxymethyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

HO—
$$CH_2$$
 N— $i-Pr$ O NH— CH_2 CF_3 CF_3

RN 400765-98-2 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 400765-99-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-cyano-1-piperidinyl)-1-(1-methylethyl)- (CA INDEX NAME)

RN 400766-00-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-hydroxy-1-piperidinyl)-1-(1-methylethyl)- (CA INDEX NAME)

HO
$$i-Pr$$
 C $NH-CH2$ $CF3$

RN 400766-01-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]-4-phenyl-, ethyl ester (CA INDEX NAME)

RN 400766-02-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3R,4S)-4-(4-fluorophenyl)-3-methyl-1-piperidinyl]-1-(1-methylethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

$$F_3$$
C N_{i-Pr} N_{i-Pr} N_{i-Pr}

RN 400766-03-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)- (CA INDEX NAME)

RN 400766-04-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(1-piperidinyl)- (CA INDEX NAME)

RN 400766-05-4 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)- (CA INDEX NAME)

RN 400766-06-5 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 400766-07-6 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-

piperidin]-1'-yl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 400766-08-7 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 400766-09-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)-1-(1-methylethyl)- (CA INDEX NAME)

CN Cyclopentanecarboxamide, 3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)-1-(1-methylethyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 400766-11-2 CAPLUS

CN Cyclopentanecarboxamide, 3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)- (CA INDEX NAME)

RN 400766-12-3 CAPLUS

CN Cyclopentanecarboxamide, 1-[1-(acetylamino)-1-methylethyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-, (1S,3R)- (CA INDEX NAME)

RN 400766-13-4 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-(acetylamino)-2-methylpropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400766-22-5 CAPLUS

CN Cyclopentanecarboxamide, 3-[(3R,4R)-4-(4-fluorophenyl)-3-hydroxy-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400766-23-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[10-(4-fluorophenyl)-1,4-dioxa-7-azaspiro[4.5]dec-7-yl]-1-(1-methylethyl)-(CA INDEX NAME)

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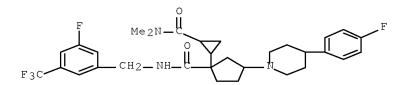
RN 400766-25-8 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-[(ethylamino)carbonyl]cyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 400766-27-0 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-[(dimethylamino)carbonyl]cyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



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RN 400766-28-1 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-(1-pyrrolidinylcarbonyl)cyclopropyl]- (CA INDEX NAME)

$$F_3 \subset \bigvee_{E} CH_2 - NH - C \bigvee_{E} F$$

RN 400766-29-2 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-[[(1-methyl)amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

RN 400766-30-5 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]cyclopentyl]-, methyl ester (CA INDEX NAME)

RN 400766-31-6 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-[[(methylsulfonyl)amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

RN 400766-33-8 CAPLUS

CN Cyclopentanecarboxamide, 1-(2-cyanocyclopropyl)-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 400766-34-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[2-(2H-tetrazol-5-yl)cyclopropyl]- (CA INDEX NAME)

RN 400766-35-0 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-(5-methyl-1,3,4-oxadiazol-2-yl)cyclopropyl]- (CA INDEX NAME)

$$F_{3}C$$
 C_{H_2}
 N_{H_2}
 $N_{H_$

RN 400766-36-1 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-(1H-1,2,4-triazol-5-yl)cyclopropyl]-(CA INDEX NAME)

RN 400766-38-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[2-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)cyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]- (CA INDEX NAME)

RN 400766-39-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[2-(5-methyl-1,2,4-oxadiazol-3-yl)cyclopropyl]- (CA INDEX NAME)

RN 400766-40-7 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-(3-methyl-1,2,4-oxadiazol-5-yl)cyclopropyl]- (CA INDEX NAME)

RN 400766-41-8 CAPLUS

CN 1,1-Cyclopentanedicarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]- 3-[4-(4-fluorophenyl)-1-piperidinyl]- (CA INDEX NAME)

RN 400766-42-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[(hydroxyamino)iminomethyl]- (CA INDEX NAME)

RN 400766-44-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(3-hydroxypropyl)- (CA INDEX NAME)

RN 400766-48-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-formyl- (CA INDEX NAME)

RN 400766-49-6 CAPLUS

CN Cyclopentanecarboxamide, 1-[(acetyloxy)methyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-(CA INDEX NAME)

RN 400766-51-0 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-(acetyloxy)ethyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-(CA INDEX NAME)

RN 400766-53-2 CAPLUS

CN Cyclopentanecarboxamide, 1-(azidomethyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-(CA INDEX NAME)

$$\begin{array}{c} \text{CF3} \\ \text{F_3C} \\ \text{N_3-CH_2-NH-C} \\ \end{array}$$

RN 400766-57-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[[(methylsulfonyl)amino]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF3} & \text{CH}_2 \text{--} \text{NH} - \overset{\bigcirc}{\text{U}} \\ \text{Me} - \overset{\bigcirc}{\text{S}} \text{--} \text{NH} - \text{CH}_2 \\ \end{array}$$

RN 400766-59-8 CAPLUS

CN Carbamic acid, [[1-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]cyclopentyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

$$F_{3}C$$

$$MeO-C-NH-CH_{2}$$

$$NH-CH_{2}$$

RN 400766-61-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1[(dimethylamino)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{CF3} \\ \text{F}_{3}\text{C} \\ \text{Me}_{2}\text{N} - \text{CH}_{2} \\ \end{array}$$

RN 400766-63-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(4H-1,2,4-triazol-4-ylmethyl)- (CA INDEX NAME)

RN 400766-65-6 CAPLUS

CN 1,1-Cyclopentanedicarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]- 3-[4-(4-fluorophenyl)-1-piperidinyl]-N'-(1-methylethyl)- (CA INDEX NAME)

RN 400766-67-8 CAPLUS

CN 1,1-Cyclopentanedicarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]- 3-[4-(4-fluorophenyl)-1-piperidinyl]-N'-methyl- (CA INDEX NAME)

RN 400766-69-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-pyrrolidinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400766-74-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400766-76-9 CAPLUS

CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1S,3R)-(CA INDEX NAME)

RN 400766-77-0 CAPLUS

CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 400766-79-2 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,5-dichlorophenyl)methyl]-1-methyl-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA
INDEX NAME)

RN 400766-81-6 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,5-dichlorophenyl)methyl]-1-methyl-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400766-83-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400766-85-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3S)- (CA INDEX NAME)

RN 400766-87-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400766-92-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel- (CA INDEX NAME)

RN 400766-95-2 CAPLUS

CN Cyclopentanecarboxamide, 1-ethyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400766-98-5 CAPLUS

CN Cyclopentanecarboxamide, 1-ethyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400767-01-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-ethyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

RN 400767-03-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-ethyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400767-06-8 CAPLUS

CN Cyclopentanecarboxamide, 1-ethyl-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-N-[[4-(trifluoromethyl)phenyl]methyl]-, (1R,3S)-rel-(CA INDEX NAME)

RN 400767-09-1 CAPLUS

CN Cyclopentanecarboxamide, 1-ethyl-N-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400767-11-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[4-chloro-3-(trifluoromethyl)phenyl]methyl]-1-ethyl-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 400767-14-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-1-propyl-, (1R,3S)-rel-(CA INDEX NAME)

RN 400767-17-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400767-20-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3S)- (CA INDEX NAME)

RN 400767-23-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400767-26-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400767-29-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3R)- (CA INDEX NAME)

RN 400767-31-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400767-34-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

RN 400767-38-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400767-41-1 CAPLUS

CN Cyclopentanecarboxamide, 1-(cyclopropylmethyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400767-44-4 CAPLUS

CN Cyclopentanecarboxamide, 1-(cyclobutylmethyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3R)- (CA INDEX NAME)

RN 400767-47-7 CAPLUS

CN Cyclopentanecarboxamide, 1-(cyclobutylmethyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400767-50-2 CAPLUS

CN Cyclopentanecarboxamide, 1-(cyclobutylmethyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

RN 400767-52-4 CAPLUS

CN Cyclopentanecarboxamide, 1-(cyclobutylmethyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400767-54-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclobutylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3R)- (CA INDEX NAME)

RN 400767-56-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclobutylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400767-58-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclobutylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

RN 400767-60-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclobutylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400767-63-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-hexyl-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel-(CA INDEX NAME)

RN 400767-66-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-hexyl-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 400767-69-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-hexyl-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel-(CA INDEX NAME)

RN 400767-71-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-hexyl-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 400767-73-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(methoxymethyl)-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400767-75-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(methoxymethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3R)- (CA INDEX NAME)

RN 400767-76-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(methoxymethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400767-77-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(methoxymethyl)-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel- (CA INDEX NAME)

RN 400767-78-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(methoxymethyl)-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400767-79-5 CAPLUS

CN Cyclopentanecarboxamide, 1-(3-azidopropyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400767-80-8 CAPLUS

CN Cyclopentanecarboxamide, 1-(3-aminopropyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel- (CA INDEX NAME)

RN 400767-83-1 CAPLUS

CN Cyclopentanecarboxamide, N-[(2-ethoxyphenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400767-85-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[2-(difluoromethoxy)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400767-86-4 CAPLUS

CN Cyclopentanecarboxamide, N-[(5-chloro-2-methoxyphenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

RN 400767-87-5 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[2-methoxy-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (1R,3S)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 400767-88-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[2-chloro-5-(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400767-89-7 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-[(methylsulfonyl)amino]phenyl]methyl]-, (1R,3S)-rel-(CA INDEX NAME)

RN 400767-90-0 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-[(trifluoromethyl)thio]phenyl]methyl]-, (1R,3S)-rel-(CA INDEX NAME)

Relative stereochemistry.

$$F_3C$$

RN 400767-92-2 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]-, hydrochloride (1:1), (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

HC1

N 400767-93-3 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,4-dichlorophenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN

RN 400767-95-5 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,4-difluorophenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \\ \\ \\ \\ \\ \\ \end{array}$$

RN 400767-96-6 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[(2-methoxyphenyl)methyl]-1-(1-methylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400767-97-7 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-(phenylmethyl)-, (1R,3S)-rel- (CA INDEX NAME)

RN 400767-98-8 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-(1-phenylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400767-99-9 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-(1-methyl-1-phenylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400768-00-5 CAPLUS

CN Benzeneacetic acid, $\alpha-[[[(1R,3S)-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]amino]-3-(trifluoromethyl)-, methyl ester, rel- (CA INDEX NAME)$

$$F_3C$$

$$\begin{array}{c}
MeO\\
i-Pr\end{array}$$

$$\begin{array}{c}
0\\
i-Pr\end{array}$$

RN 400768-01-6 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N- [[3-(trifluoromethyl)phenyl]methyl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-02-7 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N- [[3-(trifluoromethyl)phenyl]methyl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-03-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyclopropyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

RN 400768-04-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyclopropyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-05-0 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

RN 400768-06-1 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-07-2 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel- (CA INDEX NAME)

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ΙT
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     400768-11-8P 400768-12-9P 400768-13-0P
     400768-14-1P 400768-15-2P 400768-16-3P
     400768-17-4P 400768-18-5P 400768-19-6P
     400768-20-9P 400768-21-0P 400768-22-1P
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     400768-26-5P 400768-28-7P 400768-29-8P
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     400769-16-6P 400769-17-7P 400769-18-8P
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     400769-31-5P 400769-32-6P 400769-33-7P
     400769-34-8P 400769-35-9P 400769-36-0P
     400769-37-1P 400769-38-2P 400769-39-3P
     400769-40-6P 400769-41-7P 400769-42-8P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of chemokine receptor modulators N-cyclopentylpiperidines useful as anti-inflammatory and antirheumatic agents)

RN 400768-08-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyclopropyl-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400768-09-4 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3S)-rel- (CA INDEX NAME)

RN 400768-10-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyclopropyl-3-(4-phenyl-1-piperidinyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400768-11-8 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)-, (1R,3S)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 400768-12-9 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-3-(4-phenyl-1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3S)-rel- (CA INDEX NAME)

RN 400768-13-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$F_{3}C$$

$$CF_{3}$$

RN 400768-14-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

$$F_{3}C$$
 CF_{3}
 R
 R

RN 400768-15-2 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-, (1S,3R)-(CA INDEX NAME)

RN 400768-16-3 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-, (1R,3S)-(CA INDEX NAME)

Absolute stereochemistry.

$$F = \bigcup_{i=1}^{N} \prod_{j=1}^{N} \prod_{i=1}^{N} \prod_{j=1}^{N} \prod_{j=1}^{N}$$

RN 400768-17-4 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-18-5 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3S)- (CA INDEX NAME)

RN 400768-19-6 CAPLUS

Absolute stereochemistry.

RN 400768-20-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-21-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-22-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-23-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]-, (1R,3R)- (CA INDEX NAME)

RN 400768-24-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-25-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]-, (1S,3R)- (CA INDEX NAME)

RN 400768-26-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-28-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-(methylthio)-,
(1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-29-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-(methylthio)-,
(1S,3S)- (CA INDEX NAME)

RN 400768-30-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-(methylthio)-,
(1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-32-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-(methylthio)-, (1S,3S)- (CA INDEX NAME)

RN 400768-33-4 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-, (1R,3R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 400768-34-5 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methyl-2-propen-1-yl)-, (1S,3R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 400768-35-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-methyl-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 400768-36-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-methyl-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 400768-37-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-propyl-,
(1S,3R)- (CA INDEX NAME)

RN 400768-38-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-propyl-,
(1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-39-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-propyl-,
(1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-40-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-propyl-,
(1S,3S)- (CA INDEX NAME)

RN 400768-41-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclopropylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-42-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclopropylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3S)- (CA INDEX NAME)

RN 400768-43-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclopropylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-44-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclopropylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

RN 400768-45-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(2-methoxyethoxy)methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-46-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(2-methoxyethoxy)methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

RN 400768-47-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(2-methoxyethoxy)methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-48-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(2-methoxyethoxy)methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3S)- (CA INDEX NAME)

RN 400768-49-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3- [(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-50-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-51-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3R)- (CA
INDEX NAME)

RN 400768-52-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3S)- (CA
INDEX NAME)

Absolute stereochemistry.

RN 400768-53-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-54-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)-, (1R,3S)- (CA INDEX NAME)

RN 400768-55-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-56-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-57-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-spiro[1H-indene-1,4'-piperidin]-1'-yl-, (1S,3R)- (CA INDEX NAME)

RN 400768-58-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 CF_3
 R
 S

RN 400768-59-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)- (CA INDEX NAME)

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-61-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 400768-62-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 400768-63-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-64-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-65-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1S,3R)- (CA INDEX NAME)

RN 400768-66-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-67-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(2-methylpropyl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$F_{3}C$$
 R
 $i-Bu$
 R
 $i-Bu$

RN 400768-68-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(2-methylpropyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$F_3C$$
 N_{i-Bu}
 N_{i-Bu}

RN 400768-69-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(1-piperidinyl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400768-70-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(1-piperidinyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

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RN 400768-71-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3R)- (CA INDEX NAME)

RN 400768-72-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-73-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 400768-74-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-75-4 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-, (1R,3R)- (CA INDEX NAME)

RN 400768-76-5 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

$$F = \bigcup_{i-Bu} S = \bigcup_{i-Bu} F$$

RN 400768-78-7 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$F = \bigcup_{i-Bu} S = \bigcup_{i-Bu} F$$

RN 400768-79-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)- (CA INDEX NAME)

RN 400768-80-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-81-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1S,3R)- (CA INDEX NAME)

RN 400768-82-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-83-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(1-piperidinyl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

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RN 400768-84-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(1-piperidinyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400768-85-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-86-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-87-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-88-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-, (1S,3S)- (CA INDEX NAME)

RN 400768-89-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$F_{3}C$$
 H
 $i-Pr$
 S
 R

RN 400768-90-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 N_{i-Pr}
 N_{i-Pr}

RN 400768-92-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1S,3R)- (CA INDEX NAME)

RN 400768-93-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-94-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1S,3S)- (CA INDEX NAME)

RN 400768-95-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-96-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(1-piperidinyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400768-97-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(1-piperidinyl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400768-98-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1S,3R)- (CA)

INDEX NAME)

Absolute stereochemistry.

RN 400768-99-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400769-00-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)- (CA INDEX NAME)

RN 400769-01-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400769-02-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(1-piperidinyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400769-03-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(1-piperidinyl)-, (1R,3S)- (CA INDEX NAME)

RN 400769-04-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(1-piperidinyl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-05-3 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$F = \begin{cases} 0 & \text{in the problem of the problem} \\ 0 & \text{in the problem of the problem} \end{cases}$$

RN 400769-06-4 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-07-5 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-08-6 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-09-7 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1S,3R)- (CA INDEX NAME)

RN 400769-10-0 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400769-12-2 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3R)- (CA INDEX NAME)

RN 400769-14-4 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400769-15-5 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$F_{3C}$$

$$\underset{i-Pr}{\overset{\circ}{\prod}}$$

RN 400769-16-6 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-17-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1S,3'R)-2,3-dihydro-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1propyl-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 400769-18-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyclopropyl-3-(2,3-dihydro-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-23-5 CAPLUS

CN Cyclopentanecarboxamide, 3-(4-fluoro-4-phenyl-1-piperidinyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

RN 400769-24-6 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-[(ethylamino)carbonyl]cyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 400769-27-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1- [(1S,2S)-2-cyanocyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-28-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1[(1S,2R)-2-cyanocyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-,
(1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-29-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1[(1S,2R)-2-cyanocyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-,
(1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-30-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[2-(2H-tetrazol-5-yl)cyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 400769-31-5 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-(5-methyl-1,3,4-oxadiazol-2-yl)cyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 400769-32-6 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-(1H-1,2,4-triazol-5-yl)cyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 400769-33-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[2-(5-methyl-1,2,4-oxadiazol-3-yl)cyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 400769-34-8 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-(3-methyl-1,2,4-oxadiazol-5-yl)cyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 400769-35-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(hydroxymethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$F_3C$$
 CF_3
 CF_3

RN 400769-36-0 CAPLUS

 (4-fluorophenyl)-1-piperidinyl]-1-formyl-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$F_{3}C$$
 $C_{F_{3}}$
 $C_{F_{3}}$

RN 400769-37-1 CAPLUS

CN Cyclopentanecarboxamide, 1-[(acetyloxy)methyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 400769-38-2 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-(acetyloxy)ethyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$F_{3}C$$

$$CF_{3}$$

$$AcO$$

RN 400769-39-3 CAPLUS

CN Cyclopentanecarboxamide, 1-(aminomethyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-40-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[[(methylsulfonyl)amino]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$F_3C$$
 CF_3
 CH_2-NH-C
 $Me-S-NH-CH_2$
 $NH-CH_2$

● HCl

RN

400769-41-7 CAPLUS

CN Carbamic acid, [[(1R,3S)-1-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]cyclopentyl]methyl]-, methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$F_{3}C$$

$$\downarrow C$$

$$\downarrow MeO$$

$$\downarrow MeO$$

$$\downarrow MH$$

$$\downarrow MeO$$

$$\downarrow MH$$

RN 400769-42-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1[(dimethylamino)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-,
(1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-43-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(4H-1,2,4-triazol-4-ylmethyl)-, hydrochloride (1:1), (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

PAGE 2-A

● HCl

RN 400769-44-0 CAPLUS

CN 1,1-Cyclopentanedicarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-N'-(1-methylethyl)-, (1R,3R)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 400769-45-1 CAPLUS

CN 1,1-Cyclopentanedicarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-N'-methyl-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-46-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-pyrrolidinylcarbonyl)-, (1R,3R)-rel-(CA INDEX NAME)

Relative stereochemistry.

$$F3C$$

$$CF3$$

$$R$$

$$R$$

$$R$$

RN 400771-55-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

RN 400771-56-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400852-01-9 CAPLUS

CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[1-[3-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)

RN 400852-02-0 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[1-[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400852-03-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-[2[(phenylamino)carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400852-04-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-[2-(4-morpholinylcarbonyl)cyclopropyl]- (CA INDEX NAME)

RN 400852-05-3 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-[(dimethylamino)carbonyl]cyclopropyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400852-06-4 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-[[(1,1-dimethylethyl)amino]carbonyl]cyclopropyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400852-07-5 CAPLUS
CN Glycine, N-[[2-[1-[[[[3-fluoro-5(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[(1R,3'R)-3'methylspiro[1H-indene-1,4'-piperidin]-1'yl]cyclopentyl]cyclopropyl]carbonyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 400852-08-6 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-(aminocarbonyl)cyclopropyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400852-09-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1[2-[(methylamino)carbonyl]cyclopropyl]-3-[(1R,3'R)-3'-methylspiro[1Hindene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400852-10-0 CAPLUS
CN Glycine, N-[[2-[1-[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]cyclopentyl]cyclopropyl]carbonyl]- (CA INDEX NAME)

RN 400852-11-1 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-[(ethylamino)carbonyl]cyclopropyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400852-12-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1[2-(hydroxymethyl)cyclopropyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'piperidin]-1'-yl]- (CA INDEX NAME)

RN 400852-13-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-[2[[(methylsulfonyl)amino]methyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400852-14-4 CAPLUS

CN Cyclopentanecarboxamide, 1-[2[[[(ethylamino)carbonyl]amino]methyl]cyclopropyl]-N-[[3-fluoro-5(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'piperidin]-1'-yl]- (CA INDEX NAME)

RN 400852-16-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-[2[(methylsulfonyl)amino]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400852-17-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-[2-(4H-1,2,4-triazol-4-yl)cyclopropyl]- (CA INDEX NAME)

RN 400852-18-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1[2-(hydroxymethyl)cyclopropyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]1'-yl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400852-19-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1[2-(hydroxymethyl)cyclopropyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]1'-yl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400852-32-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-[2[[(methylsulfonyl)amino]methyl]cyclopropyl]-, hydrochloride (1:1) (CA
INDEX NAME)

Absolute stereochemistry.

RN 400852-33-7 CAPLUS

CN Cyclopentanecarboxamide, 1-[2[[[(ethylamino)carbonyl]amino]methyl]cyclopropyl]-N-[[3-fluoro-5(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'piperidin]-1'-yl]-, hydrochloride (1:1) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2001:833284 CAPLUS Full-text

DN 135:371641

TI Preparation of arylheterocyclylamides as motilin antagonists

IN Johnson, Sigmond G.; Rivero, Ralph A.

PA Ortho-McNeil Pharmaceutical, Inc., USA

SO PCT Int. Appl., 132 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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	US 7166601	B2	20070123		
	US 20070054888	A1	20070308	US 2006-555914	20061102
PRAI	US 2000-202131P	P	20000505		
	US 2001-829767	A3	20010410		
	WO 2001-US11821	W	20010411		
	US 2002-291133	A3	20021108		
	US 2005-66202	А3	20050225		
	US 2006-386960	А3	20060426		
OS	MARPAT 135:371641				
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AB Title compds. [I; R1 = H, (substituted) aryl, aralkyl, heterocyclyl, diarylalkyl, alkyl, etc.; R2 = (substituted) aryl, aralkyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, etc.; X1-X4 = null, CO, SO2; R1NR2X1 = (substituted) heterocyclyl; A = (substituted) alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, etc.; Y = O, NH, S, SO2; n = 0-5; R4 = H, amino, alkylamino, dialkylamino, heterocyclyl, alkylheterocyclyl, etc.], were prepared Thus, N-[3-[2-(1-pyrrolidino)ethoxy]phenyl]-N-(cis-3- aminocyclohexyl)methyl-4-fluorophenylcarboxamide (preparation given) and PhCHO in PhMe were treated sequentially with Ti(OiPr)4, EtOH, and NaBH(OAc)3 to give a crude residue which in CH2Cl2 was treated with Me3CCOCl to give title compound (II). II inhibited motilin-induced contraction in rabbit colon with IC50 = 0.029 μM.

IT 373821-78-4P 373821-85-3P 373821-92-2P 373821-97-7P 373822-06-1P 373822-15-2P 373823-43-9P 373823-50-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylheterocyclylamides as motilin antagonists)

RN 373821-78-4 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-[(2,2-dimethyl-1-oxopropyl)(phenylmethyl)amino]cyclopentyl]methyl]-4-fluoro-N-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (CA INDEX NAME)

RN 373821-85-3 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-[[(3-chlorophenyl)methyl](2,2-dimethyl-1-oxopropyl)amino]cyclopentyl]methyl]-4-fluoro-N-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 373821-92-2 CAPLUS

CN Benzamide, 4-fluoro-N-[[(1S,3R)-3-[(phenylmethyl)(2,2,2-trichloroacetyl)amino]cyclopentyl]methyl]-N-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (CA INDEX NAME)

RN 373821-97-7 CAPLUS

CN Benzamide, 4-fluoro-N-[[(1S,3R)-3-[[(3-nitrophenyl)methyl](2,2,2-trichloroacetyl)amino]cyclopentyl]methyl]-N-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 373822-06-1 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-[[(3,4-difluorophenyl)methyl](2,2-dimethyl-1-oxopropyl)amino]cyclopentyl]methyl]-4-fluoro-N-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (CA INDEX NAME)

RN 373822-15-2 CAPLUS

CN Benzamide, 4-fluoro-N-[[(1R,3S)-3-[(phenylmethyl)(2,2,2-trichloroacetyl)amino]cyclopentyl]methyl]-N-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 373823-43-9 CAPLUS

CN Acetamide, 2,2,2-trichloro-N-[(3-chlorophenyl)methyl]-N-[[3-[[3-[2-(4-morpholinyl)ethoxy]phenyl][(phenylamino)carbonyl]amino]cyclopentyl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 373823-50-8 CAPLUS

CN Benzamide, N-[[4-[(2,2-dimethyl-1-oxopropyl) (phenylmethyl)amino]-2-cyclopenten-1-yl]methyl]-4-fluoro-N-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]-(CA INDEX NAME)

PAGE 1-A

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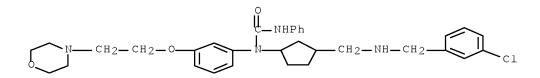
IT 373828-02-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylheterocyclylamides as motilin antagonists)

RN 373828-02-5 CAPLUS

CN Urea, N-[3-[[[(3-chlorophenyl)methyl]amino]methyl]cyclopentyl]-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N'-phenyl- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2001:472724 CAPLUS Full-text
- DN 135:76865
- ${\tt TI}$ Preparation of N-(isoxazoloquinolinylcyclohexyl)carboxamides and analogs as MRP1 inhibitors
- IN Bonjouklian, Rosanne; Cohen, Jeffrey Daniel; Gruber, Joseph Michael; Johnson, Douglas Webb; Jungheim, Louis Nickolaus; Kroin, Julian Stanley; Lander, Peter Ambrose; Lin, Ho-shen; Lohman, Mark Christopher; Muehl,

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Brian Stephen; Norman, Bryan Hurst; Patel, Vinod Francis; Richett, Michael Enrico; Thrasher, Kenneth Jeff; Vepachedu, Sreenivasarao; White, Wesley Todd; Xie, Yongping; York, Jeremy Schulenburg; Parkhurst, Brandon Lee

PA Eli Lilly and Co., USA; Wang, Qiuping; et al.

SO PCT Int. Appl., 381 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

F'AN.		TENT	NO.			KIND DATE				APPL	ICAT	ION :	DATE						
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		S 2002-130800						2002	0521										
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AB Title compds. were prepared as MRP1 inhibitors (no data). Thus, mono-N-protected cyclohexane-1,3-diamine was amidated by 3-(2-chloro-6-fluorophenyl)-5-methylisoxazole-4-carbonyl chloride and the cis-product cyclized to give, after deprotection and amidation, title compound I.

IT 347178-37-4P 347178-38-5P 347178-41-0P 347182-17-6P 347182-18-7P 347182-19-8P

Ι

347182-20-1P 347182-21-2P 347182-22-3P 347182-24-5P 347183-82-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-isoxazoloquinolinylcyclohexylcarboxamides and analogs as MRP1 inhibitors)

RN 347178-37-4 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,5-c]quinolin-5(4H)-yl)cyclopentyl]methyl]-3,4-difluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 347178-38-5 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,5-c]quinolin-5(4H)-yl)cyclopentyl]methyl]-3-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 347178-41-0 CAPLUS

CN 2-Pyrazinecarboxamide, N-[[(1S,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,5-c]quinolin-5(4H)-yl)cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347182-17-6 CAPLUS

CN Cyclopentanecarboxamide, 3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)-N-(phenylmethyl)-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 347182-18-7 CAPLUS

CN Benzamide, N-[[(1R,3S)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347182-19-8 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347182-20-1 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclopentyl]methyl]-4-fluoro- (CA INDEX NAME)

RN 347182-21-2 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[[(1S,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347182-22-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[[(1S,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347182-24-5 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclopentyl]methyl]-3,4,5-trimethoxy- (CA INDEX NAME)

RN 347183-82-8 CAPLUS

CN Benzamide, N-[[(1R,3S)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclopentyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

347185-65-3P 347185-66-4P 347185-67-5P ΙT 347185-72-2P 347185-73-3P 347185-74-4P 347185-77-7P 347185-78-8P 347185-79-9P 347185-81-3P 347185-82-4P 347185-83-5P 347185-84-6P 347185-85-7P 347185-86-8P 347185-90-4P 347185-91-5P 347185-92-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of N-isoxazoloquinolinylcyclohexylcarboxamides and analogs as MRP1 inhibitors) RN 347185-65-3 CAPLUS CN Carbamic acid, [(1R,3S)-3-[[(phenylmethyl)amino]carbonyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-66-4 CAPLUS

CN Cyclopentanecarboxamide, 3-amino-N-(phenylmethyl)-, (1S,3R)- (CA INDEX NAME)

RN 347185-67-5 CAPLUS

CN 4-Isoxazolecarboxamide, 3-(2-chloro-6-fluorophenyl)-5-methyl-N-[(1R,3S)-3-[[(phenylmethyl)amino]carbonyl]cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-72-2 CAPLUS

CN Carbamic acid, [(1R,3S)-3-[(benzoylamino)methyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-73-3 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-aminocyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-74-4 CAPLUS

CN 4-Isoxazolecarboxamide, N-[(1R,3S)-3-[(benzoylamino)methyl]cyclopentyl]-3-(2-chloro-6-fluorophenyl)-5-methyl- (CA INDEX NAME)

RN 347185-77-7 CAPLUS

CN Carbamic acid, [(1R,3S)-3-[[(4-fluorobenzoyl)amino]methyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-78-8 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-aminocyclopentyl]methyl]-4-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-79-9 CAPLUS

CN 4-Isoxazolecarboxamide, 3-(2-chloro-6-fluorophenyl)-N-[(1R,3S)-3-[[(4-fluorobenzoyl)amino]methyl]cyclopentyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-81-3 CAPLUS

CN Carbamic acid, [(1R,3S)-3-[[([1,1'-biphenyl]-4-ylcarbonyl)amino]methyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-82-4 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[[(1S,3R)-3-aminocyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-83-5 CAPLUS

CN 4-Isoxazolecarboxamide, N-[(1R,3S)-3-[[([1,1'-biphenyl]-4-ylcarbonyl)amino]methyl]cyclopentyl]-3-(2-chloro-6-fluorophenyl)-5-methyl-(CA INDEX NAME)

Absolute stereochemistry.

RN 347185-84-6 CAPLUS

CN Carbamic acid, [(1R,3S)-3-[[(3-pyridinylcarbonyl)amino]methyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-85-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[[(1S,3R)-3-aminocyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-86-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[[(1S,3R)-3-[[[3-(2-chloro-6-fluorophenyl)-5-methyl-4-isoxazolyl]carbonyl]amino]cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-90-4 CAPLUS

CN Carbamic acid, [(1R,3S)-3-[[(3,4,5-trimethoxybenzoyl)amino]methyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-91-5 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-aminocyclopentyl]methyl]-3,4,5-trimethoxy- (CA INDEX NAME)

10/567,516

347185-92-6 CAPLUS RN

CN 4-Isoxazolecarboxamide, 3-(2-chloro-6-fluorophenyl)-5-methyl-N-[(1R,3S)-3-[[(3,4,5-trimethoxybenzoyl)amino]methyl]cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- 1999:529148 CAPLUS Full-text ΑN
- 131:184960 DN
- ΤI Preparation of novel triazolo[4,5-d]pyrimidine compounds as P2T-receptor antagonists for treatment of myocardial infarction or unstable angina
- Brown, Roger; Pairaudeau, Garry; Springthorpe, Brian; Thom, Stephen; INWillis, Paul
- PΑ Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag
- SO PCT Int. Appl., 92 pp. CODEN: PIXXD2
- DTPatent
- English LA

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB New triazolo[4,5-d]pyrimidine compds. (I) [R1, R2 = independently (un)substituted C1-6 alkyl, C2-6 alkenyl or alkynyl, C3-8 cycloalkyl, aryl, or thienyl; R2 = (un)substituted C1-8 alkyl, C2-8 alkenyl, or C3-8 cycloalkyl; R3, R4 = OH; R5 = H or C1-6 alkyl; R6 = (un)substituted C1-6 alkyl, C3-6 cycloalkyl, phenylalkyl, or pyridylalkyl; or NR5R6 forms saturated 5- to 7-membered ring optionally substituted by C1-6 alkyl] were prepared for treatment of myocardial infarction or unstable angina. Thus, iron powder was added to the N-(nitrophenyl) lactam II and the mixture was refluxed to form the cleaved (aminophenyl)amino acid III, followed by diazotization and cyclization, addition of the cyclopropylamine group, amidation, and deketalization, to yield the title compound IV. P2T-receptor agonist/antagonist activity in washed human platelets was assessed for compds. of the invention. Exemplified compds. showed antagonist potency with pIC50 values of >5.0.

IT 238430-55-2P 238430-89-2P 238430-96-1P 238430-97-2P 238430-98-3P 238430-99-4P 238431-01-1P 238431-02-2P 238431-03-3P 238431-04-4P 238431-05-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel triazolo[4,5-d]pyrimidine compds. as P2T-receptor antagonists for treatment of myocardial infarction or unstable angina)

RN 238430-55-2 CAPLUS

CN Cyclopentanecarboxamide, 2,3-dihydroxy-4-[7-[[(1R,2S)-2-phenylcyclopropyl]amino]-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-N-(phenylmethyl)-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 238430-89-2 CAPLUS

CN Cyclopentanecarboxamide, N-[(3-chlorophenyl)methyl]-2,3-dihydroxy-4-[7-[(1R,2S)-2-phenylcyclopropyl]amino]-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 238430-96-1 CAPLUS

CN Cyclopentanecarboxamide, 2,3-dihydroxy-4-[7-[[(1R,2S)-2-phenylcyclopropyl]amino]-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-N-(2-pyridinylmethyl)-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 238430-97-2 CAPLUS

CN Cyclopentanecarboxamide, 2,3-dihydroxy-4-[7-[[(1R,2S)-2-phenylcyclopropyl]amino]-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-N-(3-pyridinylmethyl)-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 238430-98-3 CAPLUS

CN Cyclopentanecarboxamide, 2,3-dihydroxy-4-[7-[[(1R,2S)-2-phenylcyclopropyl]amino]-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-N-(4-pyridinylmethyl)-, (1S,2R,3S,4R)- (CA INDEX NAME)

RN 238430-99-4 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-(4-pyridinylmethyl)-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 238431-01-1 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-N-ethyl-2,3-dihydroxy-N-(4-pyridinylmethyl)-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 238431-02-2 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-(3-pyridinylmethyl)-, (1S,2R,3S,4R)- (CA INDEX NAME)

RN 238431-03-3 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-methyl-N-(3-pyridinylmethyl)-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 238431-04-4 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(cyclopropylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-(4-pyridinylmethyl)-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 238431-05-5 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(cyclopropylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-N-ethyl-2,3-dihydroxy-N-(4-pyridinylmethyl)-, (1S,2R,3S,4R)- (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1999:297412 CAPLUS Full-text

DN 130:296443

- TI Preparation of cyclopentene derivatives as antagonists of the motilin receptor
- IN Chen, Robert H.; Xiang, Min; Moore, John B., Jr.; Beavers, Mary Pat
- PA Ortho-McNeil Pharmaceutical Corp., USA
- SO PCT Int. Appl., 58 pp. CODEN: PIXXD2

DT Patent

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AN 1028399 A1 20050107 HK 2000-107799
US 1997-63669P P 19971028
WO 1998-US22765 W 19981027
MARPAT 130:296443
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. [I; R1 = H, phenylaminocarbonyl, phenylcarbonyl, 2-morpholinylethyl; R4 = CH3, CCl3, CF3; R2 = C6H5CH2, H, (un)substituted phenylalkyl; A = O(CH2)2NEt2, OCH2CH2morpholin-1-yl, OH, SCH2CH2morpholin-1-yl, NHCH2CH2morpholin-1-yl, etc.; n = 0-2] and stereoisomers are prepared and compete with erythromycin and motilin in treating gastrointestinal disorders associated with antagonizing the motilin receptor disorders as the contractile smooth muscle response to these ligands. Thus, title compound II and III were prepared

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223442-24-8P 223442-39-5P 223442-42-0P
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     223442-45-3P 223442-47-5P 223442-51-1P
     223442-55-5P 223442-70-4P 223442-72-6P
     223442-73-7P 223442-74-8P 223442-75-9P
     223442-77-1P 223442-78-2P 223442-87-3P
     223442-89-5P 223442-90-8P 223442-92-0P
     223442-93-1P 223442-95-3P 223442-96-4P
     223442-98-6P 223443-00-3P 223443-03-6P
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     223443-07-0P 223443-08-1P 223443-09-2P
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     223443-17-2P 223443-19-4P 223443-20-7P
     223443-21-8P 223443-26-3P 223443-30-9P
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     223443-69-4P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of cyclopentene derivs. as antagonists of the motilin receptor)

RN 223442-24-8 CAPLUS

CN Benzamide, N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

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RN 223442-39-5 CAPLUS

CN Benzamide, N-[3-[[2-(4-morpholinyl)ethyl]amino]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223442-42-0 CAPLUS

CN Acetamide, 2,2,2-trichloro-N-[3-[[[(4-chlorophenyl)methyl][3-[2-(4-morpholinyl)ethoxy]phenyl]amino]methyl]-1-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

RN 223442-45-3 CAPLUS

CN Benzamide, N-[[3-[2-(4-morpholinyl)ethoxy]phenyl]methyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223442-47-5 CAPLUS

(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl] (CA INDEX NAME)

RN 223442-51-1 CAPLUS

CN Benzamide, 4-bromo-N-[2-chloro-5-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223442-55-5 CAPLUS

CN Benzamide, N-[4-methoxy-3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223442-70-4 CAPLUS

CN Acetamide, 2,2,2-trichloro-N-[3-[[[[3-[2-(4-morpholinyl)ethyl]methyl]]-1-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

RN 223442-72-6 CAPLUS

CN Benzamide, 4-fluoro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223442-73-7 CAPLUS

CN Benzamide, 4-methoxy-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223442-74-8 CAPLUS

CN Benzamide, 4-bromo-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

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RN 223442-75-9 CAPLUS

CN Benzamide, 3,4-difluoro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223442-77-1 CAPLUS

CN Benzamide, 4-fluoro-N-[[3-[(4-methoxyphenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

RN 223442-78-2 CAPLUS

CN Benzamide, N-[[3-[(4-methoxyphenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

RN 223442-87-3 CAPLUS

CN Acetamide, 2,2,2-trichloro-N-[3-[[[3-[2-(diethylamino)ethoxy]phenyl]methyl][1-(phenylmethyl)-4-piperidinyl]amino]methyl]-1-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

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 CH_2

RN 223442-89-5 CAPLUS

CN Benzamide, N-[3-[[2-(diethylamino)ethyl]thio]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RN 223442-90-8 CAPLUS

CN Benzamide, 4-fluoro-N-[3-[[2-(4-morpholinyl)ethyl]thio]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223442-92-0 CAPLUS

CN Benzamide, N-[3-[[2-(4-morpholinyl)ethyl]thio]phenyl]-N-[[3-(phenylmethyl)-(phenylmethyl)]-N-[[3-(phenylmethyl)-(phenylmethyl)]-N-[[3-(phenylmethyl)]-N-[3-(phenylmethyl)]-N-[3-(phenylmethyl)]-N-[[3-(phenylmethyl)]-N-[3-(phenylmethyl)]-N-[3-(phenylmethyl)]-N-[

 $3-[(2,2,2-\text{trichloroacetyl})\,\text{amino}]-1-\text{cyclopenten}-1-y1]\,\text{methyl}]-$ (CA INDEX NAME)

RN 223442-93-1 CAPLUS

CN Benzamide, 4-methoxy-N-[3-[[2-(4-morpholinyl)ethyl]thio]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph-CH}_2 & \text{NH-C-CCl}_3 \\ & \text{CH}_2 & \text{NH-C-CCl}_3 \\ & \text{CH}_2 & \text{NH-C-CCl}_3 \\ & \text{OMe} \end{array}$$

RN 223442-95-3 CAPLUS

CN Benzamide, N-[4-[[2-(dimethylamino)ethyl]thio]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RN 223442-96-4 CAPLUS

CN Acetamide, 2,2,2-trichloro-N-[3-[[[[3-[2-(4-morpholinyl)ethoxy]phenyl]methyl](phenylmethyl)amino]methyl]-1-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

RN 223442-98-6 CAPLUS

CN Benzamide, N-[[4-[[1-(phenylmethyl)-4-piperidinyl]amino]phenyl]methyl]-N[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1yl]methyl]- (CA INDEX NAME)

RN 223443-00-3 CAPLUS

CN Acetamide, 2,2,2-trichloro-N-[3-[[[4-methoxy-3-[2-(4-morpholinyl)ethoxy]phenyl](phenylmethyl)amino]methyl]-1-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2-\text{Ph} \\ \text{OMe} \\ \text{Cl}_3\text{C--}\text{NH} \\ \text{CH}_2-\text{Ph} \end{array}$$

RN 223443-03-6 CAPLUS

CN Benzamide, 4-(dimethylamino)-N-[2-[3-[2-(4-morpholinyl)ethoxy]phenyl]ethyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RN 223443-04-7 CAPLUS

CN Benzamide, 3,4-dichloro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223443-05-8 CAPLUS

CN Benzamide, 4-fluoro-N-[3-[3-(4-morpholinyl)propyl]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223443-06-9 CAPLUS

CN Benzamide, N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-3,4-bis(trifluoromethyl)- (CA INDEX NAME)

RN 223443-07-0 CAPLUS

CN Benzamide, 2,3,4,5,6-pentafluoro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N- [[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1- yl]methyl]- (CA INDEX NAME)

RN 223443-08-1 CAPLUS

CN Benzamide, 3-bromo-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223443-09-2 CAPLUS

CN Benzamide, 4-chloro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223443-10-5 CAPLUS

CN Benzamide, N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-3-(trifluoromethyl)- (CA INDEX NAME)

RN 223443-11-6 CAPLUS

CN Benzamide, N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)

RN 223443-12-7 CAPLUS

CN Benzamide, 4-iodo-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223443-13-8 CAPLUS

CN Benzamide, 3,5-difluoro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223443-15-0 CAPLUS

CN Benzamide, 4-cyano-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223443-16-1 CAPLUS

CN Benzamide, 4-(1,1-dimethylethyl)-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 223443-17-2 CAPLUS

CN 4-Pyridinecarboxamide, N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223443-19-4 CAPLUS

CN Benzamide, N-[2-chloro-5-[2-(4-morpholinyl)ethoxy]phenyl]-3-fluoro-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223443-20-7 CAPLUS

CN Benzamide, N-[2-chloro-5-[2-(4-morpholinyl)ethoxy]phenyl]-3,4-difluoro-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RN 223443-21-8 CAPLUS

CN Benzamide, N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-4-nitro-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223443-26-3 CAPLUS

CN Benzamide, N-[[3-[(3-chlorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-4-fluoro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-(CA INDEX NAME)

RN 223443-30-9 CAPLUS

CN Benzamide, 4-fluoro-N-[[3-[(4-fluorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

RN 223443-31-0 CAPLUS

CN Benzamide, 3-fluoro-N-[[3-[(4-fluorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

RN 223443-33-2 CAPLUS

CN Benzamide, 4-fluoro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-phenyl-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RN 223443-34-3 CAPLUS

CN Benzamide, 4-bromo-N-[[3-[(3-chlorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

RN 223443-35-4 CAPLUS

CN Benzamide, N-[[3-[(3-chlorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-3,4-difluoro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{CH2} \\ \text{NH-C-CC13} \\ \text{CH2} \\ \text{CH2} \\ \text{CH2} \\ \text{F} \end{array}$$

RN 223443-37-6 CAPLUS

CN Benzamide, N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trifluoroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 223443-38-7 CAPLUS

CN Benzamide, 4-fluoro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trifluoroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223443-42-3 CAPLUS

CN Benzamide, 4-bromo-N-[3-[2-(4-oxido-4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223443-56-9 CAPLUS

CN Benzamide, N-[[3-[(4-fluorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-N-[3-[3-(4-morpholinyl)propoxy]phenyl]- (CA INDEX NAME)

RN 223443-61-6 CAPLUS

CN Benzamide, N-[[3-[(4-fluorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-N-[4-[[3-(1-piperidinyl)propyl]amino]phenyl]-(CA INDEX NAME)

RN 223443-62-7 CAPLUS

CN Benzamide, N-[4-[bis[3-(1-piperidinyl)propyl]amino]phenyl]-N-[[3-[(4-fluorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RN 223443-67-2 CAPLUS

CN Benzamide, N-[[3-(acetylamino)-3-(phenylmethyl)-1-cyclopenten-1-yl]methyl]-N-[[3-[2-(4-morpholinyl)ethoxy]phenyl]methyl]- (CA INDEX NAME)

RN 223443-68-3 CAPLUS

CN Benzamide, N-[[3-(acetylamino)-3-(phenylmethyl)-1-cyclopenten-1-yl]methyl]-N-[2-[3-[2-(4-morpholinyl)ethoxy]phenyl]ethyl]- (CA INDEX NAME)

RN 223443-69-4 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

IT 223442-37-3P 223442-38-4P 223442-41-9P

223442-43-1P 223442-44-2P 223442-54-4P

223442-59-9P 223443-59-2P 223443-60-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

RN 223442-37-3 CAPLUS

CN Benzamide, N-(3-nitrophenyl)-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RN 223442-38-4 CAPLUS

CN Benzamide, N-(3-aminophenyl)-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RN 223442-41-9 CAPLUS

CN Acetamide, 2,2,2-trichloro-N-[3-[[[(4-chlorophenyl)methyl](3-hydroxyphenyl)amino]methyl]-1-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

$$C1_3C-C-NH$$
 CH_2-Ph
 OH
 OH

RN 223442-43-1 CAPLUS

CN Acetamide, 2,2,2-trichloro-N-[3-[[[(3-hydroxyphenyl)methyl]amino]methyl]-1-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

HO
$$CH_2$$
—NH— CH_2 — CH_2 —Ph

RN 223442-44-2 CAPLUS

CN Benzamide, N-[(3-hydroxyphenyl)methyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RN 223442-54-4 CAPLUS

CN Benzamide, N-(3-hydroxy-4-methoxyphenyl)-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RN 223442-59-9 CAPLUS

CN Acetic acid, 2-[3-[benzoyl[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]amino]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 223443-59-2 CAPLUS

CN Benzamide, N-[[3-[(4-fluorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-N-(4-nitrophenyl)- (CA INDEX NAME)

RN 223443-60-5 CAPLUS

CN Benzamide, N-(4-aminophenyl)-N-[[3-[(4-fluorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5
     ANSWER 11 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
     1999:96242 CAPLUS Full-text
ΑN
DN
     130:153657
ΤI
    Preparation of 2,3-dihydroxy-4-triazolopyrimidinylcyclopentanecarboxamides
     and analogs as P2T receptor antagonists
     Hardern, David; Springthorpe, Brian
ΙN
    Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag
PA
SO
    PCT Int. Appl., 46 pp.
    CODEN: PIXXD2
    Patent
DT
LA
    English
FAN.CNT 1
     PATENT NO.
                      KIND DATE APPLICATION NO.
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                              _____
                                          _____
     WO 9905142
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     TR 200000151 T2 20000921
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                       A 20001016 EE 2000-42
T 20010807 JP 2000-504138
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NO 200000311 A
PRAI SE 1997-2772 A
WO 1998-SE1392 W
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                                                                20000121 <--
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$$\mathbb{R}^{5}$$

$$\mathbb{R}^{4}$$

$$\mathbb{R}^{3}$$

$$\mathbb{R}^{1}$$

$$\mathbb{R}^{1}$$

$$\mathbb{R}^{1}$$

$$\mathbb{R}^{1}$$

MARPAT 130:153657

OS

GΙ

Title compds. [I; R1 = (un)substituted (cyclo)alkyl or -Ph; R2 = (un)substituted (cyclo)alkyl; 1 of R3,R4 = OH and the other = H, OH, (di)(alkyl)amino; R5 = amino(alkyl), (un)substituted carbamoyl, heterocyclyl, etc.] were prepared Thus, 4,6-dichloro-5-nitro-2-propylthiopyrimidine was condensed with [3aS-(3a α ,4 β ,7 β ,7a α)]-tetrahydro-2,2-dimethyl-4,7- methano-1,3-dioxolo[4,5-c]pyridin-6(3aH)-one and the product converted in 5 steps to [1S-(1 α ,2 β ,3 β ,4 α)]-4-[7-butylamino-5- propylthio-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-(3-methylphenylaminopropyl)cyclopentanecarboxamide trifluoroacetate. Data for biol. activity of I were given.

IT 220241-08-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,3-dihydroxy-4-triazolopyrimidinylcyclopentanecarboxamides and analogs as P2T receptor antagonists)

RN 220241-08-7 CAPLUS

CN Cyclopentanecarboxamide, N-[(3-aminophenyl)methyl]-4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-, (1S,2R,3S,4R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 220241-07-6 CMF C24 H34 N8 O3 S

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1998:479531 CAPLUS Full-text

DN 129:95506

OREF 129:19703a,19706a

```
TI Preparation of triazolo[4,5-d] pyrimidines for treatment of platelet aggregation disorders.
```

IN Bonnert, Roger; Ingall, Anthony; Springthorpe, Brian; Willis, Paul

PA Astra Pharmaceuticals Ltd., UK; Astra AB

SO PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	FAN.CNT 1 PATENT NO.			KIND DATE				APPLICATION NO.											
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			KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	
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PRAI						A		1996											
		1996				A		1996											
		1997				W		1997	1212										
	MAF	RPAT	129:	9550	6														
GI																			

$$X \xrightarrow{O} OH N \xrightarrow{N} NHR^2$$

I

Title compds. [I; X = OH, amino; R1 = (substituted) alkyl, cycloalkyl, Ph; R2 = H, substituted alkyl], were prepared Thus, [3aS-(3a α , 4 β , 7 β , 7a α)] - tetrahydro-2,2-dimethyl-4,7- methano-1,3-dioxolo[4,5-c]pyridin-6(3aH)-one in THF was treated with NaH and the mixture was added to 4,6-dichloro-5-nitro-2-(propylthio)pyrimidine (preparation given) in THF to give [3aS-(3a α , 4 β , 7 β , 7a α)] - 5-[6-chloro-5-nitro-2-propylthiopyrimidin-4-yl]-tetrahydro-2,2-dimethyl-4,7-methano-1,3-dioxolo[4,5-c]pyridin-6(3aH)-one. This was converted to title compound [1S-(1 α , 2 β , 3 β , 4 α)]-4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxycyclopentanecarboxamide in several steps. In a test of P2T receptor antagonist activity, I showed antagonist potency pIC50 >5.0.

IT 209737-39-3P 209737-40-6P 209737-43-9P 209737-45-1P 209737-46-2P 209737-49-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazolo[4,5-d]pyrimidines for treatment of platelet aggregation disorders)

RN 209737-39-3 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-[(4-hydroxy-3-methoxyphenyl)methyl]-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 209737-40-6 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-[(4-hydroxyphenyl)methyl]-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 209737-43-9 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-[(2-hydroxy-5-nitrophenyl)methyl]-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 209737-45-1 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-N-[(3,4-dihydroxyphenyl)methyl]-2,3-dihydroxy-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 209737-46-2 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-[(2-hydroxyphenyl)methyl]-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 209737-49-5 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-[(3-hydroxyphenyl)methyl]-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN AN 1997:80139 CAPLUS Full-text

DN 126:69744

OREF 126:13345a,13348a

- TI Synthesis and Protein Kinase C Inhibitory Activities of Balanol Analogs with Replacement of the Perhydroazepine Moiety
- AU Lai, Yen-Shi; Mendoza, Jose S.; Jagdmann, G. Erik, Jr.; Menaldino, David S.; Biggers, Christopher K.; Heerding, Julia M.; Wilson, Joseph W.; Hall, Steven E.; Jiang, Jack B.; et al.
- CS Sphinx Pharmaceuticals, Durham, NC, 27707, USA
- SO Journal of Medicinal Chemistry (1997), 40(2), 226-235 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- AB Balanol is a potent protein kinase C (PKC) inhibitor that is structurally composed of a benzophenone diacid, a 4-hydroxybenzamide, and a perhydroazepine ring. A number of balanol analogs in which the perhydroazepine moiety is replaced have been synthesized and their biol. activities evaluated against both PKC and cAMP-dependent kinase (PKA). The results suggested that the activity and the isoenzyme/kinase selectivity of these compds. are largely related to the conformation about this nonarom. structural element of the mols.
- IT 170708-45-9P 170901-64-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; synthesis and protein kinase C inhibitory activities of balanol analogs)

- RN 170708-45-9 CAPLUS
- CN Benzoic acid, 3,5-bis(phenylmethoxy)-4-[2-(phenylmethoxy)-6-[(phenylmethoxy)carbonyl]benzoyl]-, 2-[[4-(phenylmethoxy)benzoyl]amino]-4-[(phenylmethyl)amino]methyl]cyclopentyl ester, $(1\alpha, 2\beta, 4\beta)$ (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 170901-64-1 CAPLUS

CN Benzoic acid, 3,5-bis(phenylmethoxy)-4-[2-(phenylmethoxy)-6-[(phenylmethoxy)carbonyl]benzoyl]-, $2-[[4-(phenylmethoxy)benzoyl]amino]-4-[(phenylmethyl)amino]methyl]cyclopentyl ester, \\ (1\alpha,2\beta,4\alpha)- (9CI) (CA INDEX NAME)$

Relative stereochemistry.

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1995:827727 CAPLUS Full-text

DN 124:8455

OREF 124:1789a,1792a

TI Synthesis and PKC inhibitory activities of balanol analogs with a cyclopentane substructure

AU Lai, Yen-Shi; Mendoza, Jose S.; Hubbard, Fred; Kalter, Kiyomi

CS Sphinx Pharm., A Div. Eli Lilly Co., Durham, NC, 27707, USA

SO Bioorganic & Medicinal Chemistry Letters (1995), 5(18), 2155-60 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier

DT Journal

LA English

OS CASREACT 124:8455

GΙ

- AB Analogs I (R = β -NH2, α -OH, β -OH, α -CCH2OH, β -CH2OH, α -CH2OH, β -CH2OH) of the potent protein kinase C (PKC) inhibitor balanol, were synthesized and their potency against PKC was compared with racemic balanol and other related analogs. These cyclopentane-based analogs were found to be, in general, more potent PKC inhibitors than balanol.
- IT 170708-45-9P 170901-64-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and PKC inhibitory activities of balanol analogs with a cyclopentane substructure) $\,$

RN 170708-45-9 CAPLUS

CN Benzoic acid, 3,5-bis(phenylmethoxy)-4-[2-(phenylmethoxy)-6-[(phenylmethoxy)carbonyl]benzoyl]-, 2-[[4-(phenylmethoxy)benzoyl]amino]-4-[(phenylmethyl)amino]methyl]cyclopentyl ester, $(1\alpha, 2\beta, 4\beta)$ - (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 170901-64-1 CAPLUS

CN Benzoic acid, 3,5-bis(phenylmethoxy)-4-[2-(phenylmethoxy)-6-[(phenylmethoxy)carbonyl]benzoyl]-, 2-[[4-(phenylmethoxy)benzoyl]amino]-4-[(phenylmethyl)amino]methyl]cyclopentyl ester, $(1\alpha, 2\beta, 4\alpha)$ - (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1995:272286 CAPLUS Full-text

DN 122:132622

OREF 122:24727a,24730a

TI All-cis cyclopentane scaffolding for combinatorial solid phase synthesis of small non-peptide compounds

AU Patek, Marcel; Drake, Brian; Lebl, Michal

CS Selectide Corporation, Tucson, AZ, 85737, USA

SO Tetrahedron Letters (1994), 35(49), 9169-72 CODEN: TELEAY; ISSN: 0040-4039

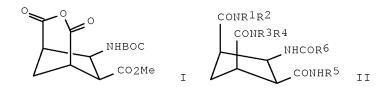
PB Elsevier

DT Journal

LA English

OS CASREACT 122:132622

GΙ



AB A convenient synthesis of all-cis cyclopentane template I from com. available anhydride $(3a\alpha, 4\beta, 7\beta, 7a\alpha)$ -3a,4,7,7a- Tetrahydro-4,7-methanoisobenzofuran-1,3-dione was described. Regioselective conversion of the anhydride I to functionalized cyclopentanes II with a range of nucleophiles, as well as the regiochem. assignment of the major regioisomer were discussed.

IT 160849-78-5P 160849-80-9P

RN 160849-78-5 CAPLUS

CN 1,2,4-Cyclopentanetricarboxamide, 3-(acetylamino)-N4-(2-amino-2-oxoethyl)-N4-methyl-N1,N1,N2-tris(phenylmethyl)-, (1R,2R,3S,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 160849-80-9 CAPLUS

CN 1,2,4-Cyclopentanetricarboxamide, 3-(acetylamino)-N4-(2-amino-2-oxoethyl)-N4-methyl-N2-(1-methylethyl)-N1,N1-bis(phenylmethyl)-, (1R,2R,3S,4S)-rel-(CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1994:605137 CAPLUS Full-text

DN 121:205137

OREF 121:37345a,37348a

TI Preparation of purine-containing bis(hydroxymethyl)cyclopentane derivatives as virucides and carcinostatics, and their intermediates

IN Suzuki, Ryoichi; Taketsuru, Hirofumi; Ichikawa, Juichiro; Shiozawa, Akira

PA Nippon Kayaku Kk, Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 06092964	A	19940405	JP 1992-91470	19920318 <
PRAI	JP 1992-91470		19920318		
OS	CASREACT 121:205137	; MARPAT	T 121:205137		
GI					

$$R^{3}$$
 OCH₂ R^{2} R^{3} R^{3} R^{3} R^{3} R^{3} R^{3} R^{4} R^{3} R^{3} R^{4} R^{3} R^{4} R^{3} R^{4} R^{3} R^{4} R^{4}

The title derivs. I (R1 = halo, NH2; R2 = H; R3-4 = H, OH protecting group), useful as virucides and carcinostatics (no data), are prepared by cyclization of cyclopentylpyrimidines II (R5 = halo; R6 = H, CHO) in the presence or absence of orthoesters, and optionally treating with NH3. Their intermediates III (R3-4 = H, OH protecting group; R8 = H, amino protecting group) are also claimed. A mixture of 2-azabicyclo[2.2.1]hept-7-(exo)-benzyloxymethyl-5-en-3-one and Pd/C in Et acetate was treated at room temperature for 4 h to give 69% 2-azabicyclo[2.2.1]heptane-7-(exo)-benzyloxymethyl-3-one, hydrolysis of which gave 49% [1,2-trans,2,3-trans]-N-tert-butyloxycarbonyl-2- benzyloxymethyl-3-methoxycarbonylcyclopentylamine (IV). A mixture of IV and aqueous NaOH in Me2CO was treated at room temperature for 40 min to give quant. [1,2-

trans,2,3-trans]-2-benzyloxymethyl-3-tert-butyloxycarbonylamino-1-cyclopentanecarboxylic acid, which was treated with (R)-(+)-methylbenzylamine in CH2Cl2 in the presence of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide-hydrochloride and 1-hydroxybenzotriazole at room temperature for 4 h to give 31% (1R,2R,3R)-N-tert-butyloxycarbonyl-2-benzyloxymethyl-3-(R)-(+)-methylbenzylaminocarbonylcyclopentylmine (V). Refluxing V in HCl/1,4-dioxane for 1 day gave 96% (+)-(1R,2R,3R)-N-tert-butyloxycarbonyl-2-bydroxymethyl-3-

(+)-(1R,2R,3R)-N-tert-butyloxycarbonyl-2-hydroxymethyl-3-methoxycarbonylcyclopentylamine, then reduction of which gave 82% (+)-(1R,2R,3R)-N-tert-butyloxycarbonyl-2,3-bishydroxymethylcyclopentylamine (VI). VI was treated with 4 N HCl in dioxane followed by treatment with 5-amino-4,6-dichloropyrimidine, and Et3N in BuOH for 18 h to give a reaction mixture, which was treated with Et orthoformate at room temperature over night to give 57% (-)-9-[(1R,2R,3R)-2,3-bishydroxymethyl-1-cyclopenthyl]-6-chloropurine.

IT 157560-56-0P

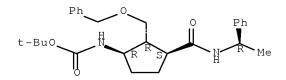
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and separation of, in bis(hydroxymethyl)cyclopentylpurines manufacture)

RN 157560-56-0 CAPLUS

CN Carbamic acid, $[3-[[(1-phenylethyl)amino]carbonyl]-2-[(phenylmethoxy)methyl]cyclopentyl]-, 1,1-dimethylethyl ester, <math>[1R-[1\alpha,2\beta,3\alpha(R^*)]]-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.



- L5 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1972:447827 CAPLUS Full-text
- DN 77:47827

OREF 77:7915a,7918a

- TI Bicyclic bases. Stereoselective synthesis of exo- and endo-N-benzyl-6-hydroxy-2-azabicyclo[2.2.1]heptane
- AU Portoghese, P. S.; Lattin, D. L.
- CS Coll. Pharm., Univ. Minnesota, Minneapolis, MN, USA
- SO Journal of Heterocyclic Chemistry (1972), 9(2), 395-7 CODEN: JHTCAD; ISSN: 0022-152X
- DT Journal
- LA English
- GI For diagram(s), see printed CA Issue.
- AB Exo-6-Hydroxy-2-benzyl-2-azabicyclo[2.2.1]heptane (exo-I) is prepared from Me cyclopentene-4-carboxylate (II) via exo-6-hydroxy-3-oxo-2-benzyl-2-azabicyclo[2.2.1]heptane (III) in a stereoselective synthesis. A mixture of endo-I (major product) and exo-I is obtained by the LiAlH4 reduction of 3,6-dioxo-2-benzyl-2-azabicyclo[2.2.1]heptane (IV). II is epoxidized with m-ClC6H4C(O)OOH and the product is treated with PhCH2NH2 to give III which is treated with LiAlH4 to give exo-I. IV is obtained by the oxidation of III with chromic oxide-H2SO4.
- IT 38318-59-1P

RN 38318-59-1 CAPLUS

CN Cyclopentanecarboxamide, 3-hydroxy-N-(phenylmethyl)-4- [(phenylmethyl)amino]-, $(1\alpha, 3\alpha, 4\beta)$ - (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1971:518414 CAPLUS Full-text

DN 75:118414

OREF 75:18697a,18700a

- TI Catalytic isomerization of norcamphene in the vapor phase on an acid catalyst
- AU Evrard-Heude, Micheline; Petit, Francis; Blanchard, Michel
- CS Ec. Natl. Super. Chim. Lille, Annappes, Fr.
- SO Bulletin de la Societe Chimique de France (1971), (7), 2545-51 CODEN: BSCFAS; ISSN: 0037-8968
- DT Journal
- LA French
- AB The mechanism of the isomerization of norcamphene (I) [2-methylenebicyclo[2.2.1]heptane] to bicyclo[3.2.1]oct-2-ene (II) and bicyclo[3.3.0]oct-2-ene (III) at 250° in the presence of H3PO4-SiO2 is examined with I labeled at the exocyclic carbon. All the carbons in II are labeled except the methylene bridge C atom. All the C atoms in III are labeled, but the 2 bridge C atoms have lower activity than the other C atoms.

IT 33797-46-5P

- RN 33797-46-5 CAPLUS
- CN Benzamide, N, N'-(3, 1-cyclopentylenemethylene)bis- (8CI) (CA INDEX NAME)

L5 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1970:445246 CAPLUS Full-text

DN 73:45246

OREF 73:7459a,7462a

- TI Reactions of isoprenoids. IX. Ritter reaction of 5,5-dimethyl-1-vinylbicyclo[2.1.1]hexane
- AU Sasaki, Tadashi; Eguchi, Shoji; Ishii, Teruhiko
- CS Fac. Eng., Nagoya Univ., Nagoya, Japan
- SO Journal of Organic Chemistry (1970), 35(7), 2257-63 CODEN: JOCEAH; ISSN: 0022-3263
- DT Journal
- LA English

AΒ Treatment of the title olefin (I) with PhCN in H2SO4 afforded 2,3,3-trimethyl-1-benzamidobicyclo[2.2.1]heptane (II), 2-phenyl-4,4-dimethyl-8-ethyl-3azabicyclo[3.3.0]octa-2,7-diene, and 2-phenyl-4,4-dimethyl-8-ethyl-8benzamido-3-azabicyclo[3.3.0]oct-2-ene, indicating that this Ritter reaction involved the competing reactions between the cyclobutane ring expansion (C-5)migration) to give a 2,3,3-trimethylbicylo[2.2.1]heptyl-1 cation and the cyclobutane ring opening at the C-1-C-5 linkage. In the reactions of I with a large excess of MeCN in H2SO4 and with a small excess of MeCN in AcOH-H2SO4, 2,3,3-trimethyl-1-hydroxybicyclo[2.2.1]heptane (III), and 2,3,3-trimethyl-1acetamidobicyclo[2.2.1]heptane (IV), and furthermore, 2,3,3-trimethyl-1acetoxybicyclo[2.2.1]heptane (V) only in the latter reaction, together with a small amount of $8-(2,3,3-\text{trimethylbicyclo}[2.2.1]\text{heptyl})-\gamma-\text{sultone were}$ obtained, while treatment of I in AcOH-H2SO4 afforded III and V. These results suggest that only the cyclobutane ring expansion of I occurred in diluted H2SO4. The C-2 stereochemistry of II, III, IV, and V disclosed that the cyclobutane ring enlargement is nonstereospecific. A plausible mechanism for the formation of the compds. was proposed.

IT 24454-02-2P

RN 24454-02-2 CAPLUS

CN Benzamide, N,N'-[(1-ethyl-3,1-cyclopentylene)isopropylidene]bis- (8CI) (CA INDEX NAME)

L5 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1944:31172 CAPLUS Full-text

DN 38:31172

OREF 38:4575c-i,4576a

TI Synthetic investigations in the field of the naphthenic acids

AU Cosciug, T.

SO Wiener Chemiker-Zeitung (1943), 46, 145-9 CODEN: WICZAB; ISSN: 0372-7270

DT Journal

LA Unavailable

GI For diagram(s), see printed CA Issue.

AB Camphor proved to be a suitable starting substance for the preparation of derivs. of naphthenic acids. From 200 g. of Japanese camphor 89 g. of hydroxymethylenecamphor (I) was obtained by the method of Bishop, Claisen and Sinclair (Ann. 281, 329(1894)). With their method also 98.3 g. I gave 57 g. cyanocamphor (II) (58% yield), m. 121-7°. Homocamphoric acid (III) was obtained by a modification of the method of Bredt and Rosenberg (Ann. 289, 4(1896)). II (1 mol.) was heated 40 hrs. on the water bath with 6 mols. KOH in 25% aqueous solution and finally 3 hrs. at gentle boiling on an air bath under a reflux condenser. After cooling 15% H2SO4 was added to neutralization and the crude III filtered off and washed with water, recrystd. from water with difficulty, finally from 50% AcOH, m. 234°. II (60 g.) gave 64 g. III (88.3%). Homocamphoramine (1 -amino-3 - (aminomethyl) - 1,2,2 - trimethylcyclopentane) (IV) was prepared in 84.6% yield by dissolving 10-g. samples of III in 25 ml. concentrated H2SO4, adding 55 ml. 11% HN3 in CHCl3

slowly with strong stirring during 1.5 hrs. until evolution of N and ${\rm CO2}$ ceased, heating for 20 min. at 50° with stirring, cooling and adding to 200ml. ice water, removing the CHCl3 by heating on the water bath in an air current, cooling and saturating with KOH and extracting the amine with ether, drying, removing the ether and distilling the amine at $108-12^{\circ}$ at 20 mm.; HCl salt, m. 286-8°; Ac derivative, m. 203°; picrate, m. 248° (decomposition); di-Bz derivative, m. 235°; α 20D of HCl salt, 31.2°. Monoguaternary iodide, C14H31N2I (V) (monomethiodide of N,N,N',N'-tetramethyl derivative of IV) was prepared in 3.7 g. (54.5%) yield by treating 3 g. IV with 13.8 g. 20% NaOH and 19.4 g. Me2SO4 and later 12.7 g. 50% KI solution, yellow crystals, m. 227-8° (decomposition). The diquaternary iodide, C15H34N2I2, was obtained by treating 2 g. V with 2.4 g. MeI and 2.5 ml. MeOH 3.5 hrs. in a sealed tube at 125°, yellow, m. 242°. The di-Bz derivative of IV (3 g.) was treated with 3.4 g. PC15 and 2 ml. POC13 and 1.3 g. solid $b0.5 135-50^{\circ}$ was obtained which on hydrolysis with aqueous NaOH gave an oil (VI) distilling at $126-35^{\circ}$ at 0.4mm., m. 56° , contains N, is basic and forms an Ac and a Bz derivative VI was also obtained a 2nd time in 0.8-g. yield; HCl salt, m. $222-5^\circ$; picrate, an oil; chloroplatinate, yellow powder, m. 155° (decomposition); Au salt, oil. A 2nd basic substance was also obtained with VI which also contained N and was not further investigated. VI and this gave 0.65 g. crystals, m. 192-3°, and analysis corresponds to C17H22NI. VI (1 q.) was catalytically reduced with H to give 0.55 g. of yellow oil (VII) b0.4 $110-15^{\circ}$, and analysis corresponded to C16H21N, apparently with the C double bond of VI hydrogenated. VII (0.15 q.) reacted with 0.18 g. MeI to give a whitish yellow precipitate, m. $162-5^{\circ}$, recrystd. from MeOH-ether, m. 166-7°, and analysis corresponded to C17H24NI.

IT 854420-25-0P, Cyclopentane,

1-benzamido-3-(benzamidomethyl)-1,2,2-trimethyl-

RL: PREP (Preparation)

(preparation of)

RN 854420-25-0 CAPLUS

CN Benzamide, N-[3-[(benzoylamino)methyl]-1,2,2-trimethylcyclopentyl]- (CA INDEX NAME)

=> s 14 not 15

L6 30 L4 NOT L5

=> dis 16 1-30 bib abs fhitstr

L6 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2009:300680 CAPLUS Full-text

TI Design, synthesis, and structure-activity relationship of novel CCR2 antagonists

AU Kothandaraman, Shankaran; Donnely, Karla L.; Butora, Gabor; Jiao, Richard; Pasternak, Alexander; Morriello, Gregori J.; Goble, Stephen D.; Zhou, Changyou; Mills, Sander G.; MacCoss, Malcolm; Vicario, Pasquale P.; Ayala, Julia M.; DeMartino, Julie A.; Struthers, Mary; Cascieri, Margaret A.; Yang, Lihu

CS Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA

SO Bioorganic & Medicinal Chemistry Letters (2009), 19(6), 1830-1834 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier B.V.

DT Journal

LA English

GΙ

AB A series of novel 1-aminocyclopentyl-3-carboxamides incorporating substituted tetrahydropyran moieties have been synthesized and evaluated for their antagonistic activity against the human CCR2 receptor. Among them analog I was found to posses potent antagonistic activity.

IT 1149374-71-9P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(design, synthesis, and structure-activity relationship of novel tetrahydropyranylaminocyclopentanecarboxamides as CCR2 antagonists)

RN 1149374-71-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2008:1210695 CAPLUS Full-text

DN 149:448056

TI Amide derivatives as inhibitors of aspartyl proteases and their preparation, pharmaceutical compositions and use in the treatment of Alzheimer's disease

IN Kvarnstroem, Ingemar; Baeck, Marcus; Sandgren, Veronica; Oscarson, Stefan; Bjoerklund, Catarina; Rosenquist, Aasa; Samuelsson, Bertil; Johansson, Per-Ola; Dorange, Ismet

PA Medivir AB, Swed.

SO PCT Int. Appl., 132pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PATENT NO.
                             DATE
                                       APPLICATION NO.
                      KIND
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PΙ
    WO 2008119773
                      A1
                            20081009 WO 2008-EP53767
                                                             20080328
        W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
            CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
            FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
            KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
            ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
            PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
            TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
            IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
            TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
            TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI EP 2007-105327 A 20070330
    EP 2007-105328
                       Α
                            20070330
    MARPAT 149:448056
OS
GΙ
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AΒ The invention provides compds. of the formula I and their N-oxides, addition salts, quaternary amines, metal complexes, stereochem. isomeric forms and metabolites thereof. The compds. of the invention are inhibitors of BACE and are among other things useful for the treatment and/or prevention of conditions associated with BACE activity such as Alzheimer's disease. Compds. of formula I wherein R2 is H and C1-6 alkyl; R3 is C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, azide, amine, etc.; R4' is C1-6 alkyl and R4'' is H; R4' and R4'' taken together to form C3-6 cycloalkyl; R6 is H, C1-4 alkyl, NHSO0-2-C1-6 alkyl, etc.; D is (un)substituted aminocarbonyl, (un)substituted alkyl, (un) substituted amine, etc.; Q is aryl and heterocyclyl; W is H, C1-6 alkyl, C3-6 cycloalkyl, aryl and heterocyclyl; X' is H, F, OH and NH2 and derivs.; X'' is H or when X' is F, X'' can be F; Z is O, S, SO, SO2, and NH and derivs.; K is (CH2)0-1, defining ring A as cyclopentyl, cyclopentenyl, cyclohexyl, cyclohexenyl and phenyl; G is (CH2)0-3; J is (CH2)0-2; n is 0 and 1; and their pharmaceutically acceptable salts, hydrates and N-oxides thereof are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their BACE inhibitory activity. From the assay, it was determined that compound II exhibited IC50 value in the range of 1 - 5 μ M.

IT 1067651-29-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 1067651-29-9 CAPLUS

CN L-lyxo-Hexonamide, 3,5-dideoxy-6-0-(3,5-difluorophenyl)-2-0-methyl-5- [[[(1R,2R,4S)-4-[methyl(methylsulfonyl)amino]-2-[[[(1R)-1-phenylethyl]amino]carbonyl]cyclopentyl]carbonyl]amino]-N-[(1S)-2-methyl-1-[[(phenylmethyl)amino]carbonyl]propyl]- (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ΑN 2008:1210636 CAPLUS Full-text

DN 149:425652

ΤI Amide derivatives as inhibitors of aspartyl proteases and their preparation, pharmaceutical compositions and use in the treatment of diseases

Kvarnstroem, Ingemar; Waangsell, Fredrik; Rosenquist, Aasa; Samuelsson, ΙN Bertil; Sahlberg, Christer; Sund, Christian; Belda, Oscar; Ivanov, Vladimir; Oden, Lourdes; Noren, Rolf

Medivir AB, Swed. PA

PCT Int. Appl., 100pp.

CODEN: PIXXD2

DTPatent

LA English

FAN.CNT 1																		
	PAT	ENT 1	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
ΡI	WO	2008	1197	72		A1		2008	1009	1	wo 2	008-	EP53	765		2	0080	328
		W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
			KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
			IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
			TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			AM,	AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM							
PRAI	EP	2007	-105	324		Α		2007	0330									
	EP	2007	-105	325		Α		2007	0330									
OS GI	EP 2007-105325 MARPAT 149:425652																	

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AΒ The invention provides compds. of the formula I, N-oxides, addition salts, quaternary amines, metal complexes, stereochem. isomeric forms and metabolites thereof. The compds. of the invention are inhibitors of aspartyl proteases such as renin and BACE and are among other things useful for the treatment and/or prophylaxis of conditions associated with activities of the RAS, such as hypertension, heart failure and renal insufficiency and for the treatment and or prophylaxis of conditions associated with BACE activity. Compds. of formula I wherein R2 is H and C1-6 alkyl; R3 is C1-6 alkyl, C1-6 alkoxy-C1-3 alkyl, C1-3 alkadiylaryl, etc.; R4' is C1-6 alkyl and R4'' is H; R4'R4'' taken together to form C3-6 cycloalkyl; R6 is H, C1-6 alkyl, NHSO0-2-C1-6 alkyl and derivs., etc.; D is (un) substituted aminocarbonyl, (un) substituted alkyl, (un) substituted alkylamino, etc.; Q is aryl and heterocyclyl; W is H, C1-6 alkyl, C3-6 cycloalkyl, aryl and heterocyclyl; X' is H, F, OH and NH2 and derivs.; X'' is H, or when X' is F, then X'' can be F; Z is O, S, SO, SO2 and NH and derivs.; K is (CH2)0-1 and defines ring A as cyclopentyl, cyclopentenyl, cyclohexyl, cyclohexenyl and phenyl; G is (CH2)0-3; J is (CH2)0-2; n is 0 and 1; and their pharmaceutically acceptable salts, hydrates and N-oxides thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their BACE inhibitory activity. From the assay, it was determined that compound II exhibited an IC50 value of < 1 μM and a Ki value in the range of 51 - 200 nM.

IT 1067648-23-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of amide derivs. as BACE and aspartyl protease inhibitors useful in the treatment of diseases)

RN 1067648-23-0 CAPLUS

CN L-lyxo-Hexonamide, 2,3,5-trideoxy-6-O-[(3,5-difluorophenyl)methyl]-2-methyl-5-[[(1R,2R,4S)-4-[methyl(methylsulfonyl)amino]-2-[[(1R)-1-phenylethyl]amino]carbonyl]cyclopentyl]carbonyl]amino]-N-[(1S)-2-methyl-1-[(phenylmethyl)amino]carbonyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2008:339332 CAPLUS Full-text

DN 148:562136

TI A new efficient synthesis of enantiopure diastereomeric 3'-aminocyclopentylglycines

AU Gelmi, Maria Luisa; Clerici, Francesca; Gandolfi, Raffaella; Pellegrino,

⊶ CO2Et NHCOPh

ΙI

Sara

- CS Istituto di Chimica Organica A. Marchesini, Facolta di Farmacia, Universita di Milano, Milan, I-20133, Italy
- SO Tetrahedron: Asymmetry (2008), 19(5), 584-592 CODEN: TASYE3; ISSN: 0957-4166
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 148:562136

GΙ

H3N CO₂H



AB A new synthesis of enantiopure 3'-aminocyclopentylglycines (-)-(I) (R1 = NH2, R2 = H and R1 = H, R2 = NH2) was performed by taking advantage of (\pm)-2-amino-3-oxo-norbornane-2-carboxylic acid derivative exo-(II) as the starting material. The use of an acylase from Aspergillus melleus in phosphate buffer allowed the one-pot' transformation of the β -ketoester (\pm)-exo-II into (\pm)-3'-carboxycyclopentylglycines via a retro-Dieckmann reaction, which, by direct kinetic resolution, were isolated as compds. (-)-(III) and (-)-(IV). Starting from a mixture of (-)-III and (-)-IV, enantiopure 3'-aminocyclopentylglycines (-)-I (R1 = NH2, R2 = H and R1 = H, R2 = NH2) as well as differently substituted 3-amino derivs. were prepared efficiently using a very simple synthetic protocol that requires a single chromatog. purification

RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(asym. synthesis of enantiopure aminocyclopentylglycines from norbornane derivative by one pot retro-Dieckmann reaction/enzymic resolution/Curtius transposition)

RN 1025496-63-2 CAPLUS

1025496-63-2P

ΙT

CN Cyclopentaneacetic acid, α -(benzoylamino)-3-isocyanato-, ethyl ester, $(\alpha R, 1S, 3R)$ - (CA INDEX NAME)

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2008:232108 CAPLUS Full-text

DN 148:440590

TI Conformational studies of 3-amino-1-alkyl-cyclopentane carboxamide CCR2 antagonists leading to new spirocyclic antagonists

AU Pasternak, Alexander; Goble, Stephen D.; Doss, George A.; Tsou, Nancy N.; Butora, Gabor; Vicario, Pasquale P.; Ayala, Julia Marie; Struthers, Mary; DeMartino, Julie A.; Mills, Sander G.; Yang, Lihu

CS Merck Research Laboratories, Rahway, NJ, 07065-0900, USA

SO Bioorganic & Medicinal Chemistry Letters (2008), 18(4), 1374-1377 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Ltd.

DT Journal

LA English

OS CASREACT 148:440590

AB In an effort to shed light on the active binding conformation of our 3-amino-1-alkyl-cyclopentane carboxamide CCR2 antagonists, we prepared several conformationally constrained analogs resulting from backbone cyclization. Evaluation of CCR2 binding affinities for these analogs gave insight into the optimal relative positions of the piperidine and benzylamide moieties while simultaneously leading to the discovery of a new, potent lead type based upon a spirocyclic acetal scaffold.

IT 400771-55-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(conformational studies of 3-amino-1-alkyl-cyclopentane carboxamide CCR2 antagonists leading to new spirocyclic antagonists)

RN 400771-55-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2008:232080 CAPLUS Full-text

DN 148:440270

 ${\tt TI}$ QSAR studies on CCR2 antagonists with chiral sensitive hologram descriptors

AU Nair, Pramod C.; Srikanth, K.; Sobhia, M. Elizabeth

CS Centre for Pharmacoinformatics, National Institute of Pharmaceutical Education and Research (NIPER), Punjab, S.A.S. Nagar, 160062, India

SO Bioorganic & Medicinal Chemistry Letters (2008), 18(4), 1323-1330 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Ltd.

DT Journal

LA English

AB Chemokines are small mol. weight water-soluble proteins playing a key role in immunomodulation and host-defense mechanisms. CCR2 receptor is targeted for diseases like arthritis, multiple sclerosis, vascular disease, obesity, and type 2 diabetes. Reported, herein are the QSAR studies performed on a diverse set of enantiopure analogs reported as CCR2 antagonists by hologram anal. The best model highlights the importance of chirality feature in comparison with the other models developed without the chirality. The validated model showed high internal and external predictive power. The robustness of the model was achieved with good statistical r2 of 0.945 and cross-validated r2cv of 0.837. The challenging test predictivity of the model was confirmed with r2pred of 0.807. The fragment fingerprints help in understanding essential pharmacophoric features for CCR2 antagonism and provide basis for SAR of the mols. The 2D contribution maps with fragment information will be useful for the design of novel CCR2 antagonists having improved efficacy.

IT 1019197-37-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

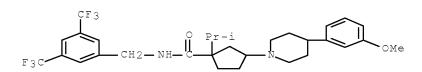
(QSAR studies on CCR2 antagonists with chiral sensitive hologram descriptors)

RN 1019197-37-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1S,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA
INDEX NAME)

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2008:151783 CAPLUS Full-text
- DN 148:440229
- TI Potent heteroarylpiperidine and carboxyphenylpiperidine 1-alkyl-cyclopentane carboxamide CCR2 antagonists
- AU Pasternak, Alexander; Goble, Stephen D.; Vicario, Pasquale P.; Di Salvo, Jerry; Ayala, Julia M.; Struthers, Mary; DeMartino, Julie A.; Mills, Sander G.; Yang, Lihu
- CS Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
- SO Bioorganic & Medicinal Chemistry Letters (2008), 18(3), 994-998 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 148:440229
- AB This report describes replacement of the 4-(4-fluorophenyl)piperidine moiety in our CCR2 antagonists with 4-heteroaryl piperidine and 4-(carboxyphenyl)-piperidine subunits. Some of the resulting analogs retained potency in our CCR2 binding assay and had improved selectivity vs. the IKr channel; poor selectivity against IKr had been a liability of earlier analogs in this series.
- IT 1019206-25-7P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (3heteroarylpiperidine and carboxyphenylpiperidine alkylcyclopentane carboxamide as CCR2 antagonists)
- RN 1019206-25-7 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(3-methoxyphenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2007:1332164 CAPLUS Full-text
- DN 148:11249
- TI Preparation of 2,4-diaminopyrimidines as cell cycle kinase inhibitors
- IN Zahn, Stephan Karl; Bister, Bojan; Boehmelt, Guido; Guertler, Ulrich;
 Mantoulidis, Andreas; Reiser, Ulrich; Schoop, Andreas; Solca, Flavio;
 Tontsch-Grunt, Ulrike; Treu, Matthias
- PA Boehringer Ingelheim International GmbH, Germany
- SO PCT Int. Appl., 96pp.

CODEN: PIXXD2

- DT Patent
- LA German
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO 2007132010	A1	20071122	WO 2007-EP54723	20070515

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             GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,
             KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK,
             MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
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             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
     AU 2007251553
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                                              CA 2007-2647238
                                                                       20070515
                                  20090225
     EP 2027107
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                                              EP 2007-729171
                                                                       20070515
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             AL, BA, HR, MK, RS
                                              NO 2008-3845
     NO 2008003845
                          Α
                                  20081211
                                                                       20080905
     MX 2008014500
                                 20081127
                                              MX 2008-14500
    IN 2008DN09798 A 20090320
KR 2009018955 A 20090224
EP 2006-113967 A 20060515
WO 2007-EP54723 W 20070515
MARPAT 148:11240
                           Α
                                                                       20081113
                                             IN 2008-DN9798
                                                                       20081125
                                             KR 2008-730445
                                                                       20081212
PRAI EP 2006-113967
     MARPAT 148:11249
OS
GΙ
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. I [Y = (CH2)m; m = 0-1; R4 = (R4')p; R4' = alkyl, cycloalkyl, aryl, etc.; p = 0-2; X = N, CH; R1 = cycloalkyl with provisos; R2 = H, halo, CN, etc.; R9' = (R9)n; n = 0-4; R9 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, coupling of carboxylic acid II and methyl-(1,2,2,6,6-pentylpiperidin-yl)amine afforded the hydrochloride salt of diaminopyrimidine III.

 11 958226-22-7P
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of 2,4-diaminopyrimidines as cell cycle kinase inhibitors) RN = 958226-22-7 CAPLUS
- CN Benzamide, N-methyl-N-(1-methyl-4-piperidinyl)-4-[[4-[[(1R,3S)-3-[[(phenylmethyl)amino]carbonyl]cyclopentyl]amino]-5-(trifluoromethyl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:652165 CAPLUS Full-text

DN 147:268309

TI 3-Amino-1-alkyl-cyclopentane carboxamides as small molecule antagonists of the human and murine CC chemokine receptor 2

AU Butora, Gabor; Jiao, Richard; Parsons, William H.; Vicario, Pasquale P.; Jin, Hong; Ayala, Julia M.; Cascieri, Margaret A.; Yang, Lihu

CS Merck Research Laboratories, Rahway, NJ, 07065, USA

SO Bioorganic & Medicinal Chemistry Letters (2007), 17(13), 3636-3641 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Ltd.

DT Journal

LA English

OS CASREACT 147:268309

GΙ

$$\bigcap_{\mathbb{R}} \mathbb{N} \longrightarrow \mathbb{R}$$

AB Nonracemic (spiroindenopiperidinyl)cyclopentanecarboxamides I (R = H, Me, Et, Me2CH, EtCH2, Me2CHCH2, cyclopropylmethyl, cyclobutylmethyl, BuCH2CH2, MeOCH2, cyclopropyl, MeSCH2, MeS; R1 = F3C, F) or mixts. of their stereoisomers are prepared as human and murine CC chemokine receptor 2 (CCR2) antagonists; the IC50 values of I (R = H, Me, Et, Me2CH, EtCH2, Me2CHCH2, cyclopropylmethyl, cyclobutylmethyl, BuCH2CH2, MeOCH2, cyclopropyl, MeSCH2, MeS; R1 = F3C, F) at human CCR2, the percentage of inhibition of murine CCR2 upon treatment with 1 μM solns. of I (R = H, Me, Et, Me2CH, EtCH2, Me2CHCH2, cyclopropylmethyl, cyclobutylmethyl, BuCH2CH2, MeOCH2, cyclopropyl, MeSCH2, MeS; R1 = F3C, F), and the IC50 values for chemotaxis and calcium flux in human monocytes treated with I (R = Me2CH, Me2CHCH2, cyclopropyl; R1 = F) are determined (spiroindenopiperidinyl)cyclopentanecarboxamides substituted with short, branched alkyl groups such as iso-Pr, iso-Bu, or cyclopropyl are the most effective human and murine CCR2 antagonists of those tested. E.g., I (R =

Me2CH; R1 = F) inhibits human CCR2 with an IC50 value of 3.1 nM; the pharmacokinetics of I (R = Me2CH; R1 = F) in Sprague-Dawley rats by both oral and i.v. routes are determined

IT 400771-55-3P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of a nonracemic

(spiroindenopiperidinyl)cyclopentanecarboxamide, its inhibition of human and murine CCR2, its inhibition of chemotaxis and calcium flux in human monocytes, and its pharmacokinetics upon oral and i.v. administration)

RN 400771-55-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:460081 CAPLUS Full-text

DN 147:86248

- TI Discovery of 3-Piperidinyl-1-cyclopentanecarboxamide as a Novel Scaffold for Highly Potent CC Chemokine Receptor 2 Antagonists
- AU Yang, Lihu; Butora, Gabor; Jiao, Richard X.; Pasternak, Alex; Zhou, Changyou; Parsons, William H.; Mills, Sander G.; Vicario, Pasquale P.; Ayala, Julia M.; Cascieri, Margaret A.; MacCoss, Malcolm

CS Merck Research Laboratories, Rahway, NJ, 07065, USA

SO Journal of Medicinal Chemistry (2007), 50(11), 2609-2611 CODEN: JMCMAR; ISSN: 0022-2623

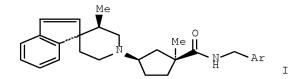
PB American Chemical Society

DT Journal

LA English

OS CASREACT 147:86248

GΙ



AB Introduction of ring restrictions to a linear aminobutyramide CC chemokine receptor 2 (CCR2) antagonist lead (2) led to the discovery of a 1,3-disubstituted cyclopentane scaffold with enhanced hCCR2 receptor binding and antagonist activity. (1S,3R)-N-[3,5-Bis(trifluoromethyl)benzyl]-1-methyl-3-[(1R,3'R)-methyl-1'H-spiro[indene-1,4'-piperidin]-1'-yl]cyclopentanecarboxamide (16) (I) had IC50 of 1.3 nM (binding) and 0.45 nM (functional chemotaxis) against hCCR2. It also showed activity against the mouse CCR2 receptor with an IC50 of 130 nM. Compound 16 is selective against other chemokine receptors, including CCR5 (.apprx.500-fold).

IT 400765-60-8P

RN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Discovery of 3-Piperidinyl-1-cyclopentanecarboxamide as a Novel Scaffold for Highly Potent CC Chemokine Receptor 2 Antagonists) 400765-60-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2006:499051 CAPLUS Full-text
- DN 145:137266
- TI Synthesis and SAR of 1,3-disubstituted cyclohexylmethyl urea and amide derivatives as non-peptidic motilin receptor antagonists
- AU Johnson, Sigmond G.; Gunnet, Joseph W.; Moore, John B.; Miller, William; Wines, Pam; Rivero, Ralph A.; Combs, Don; Demarest, Keith T.
- CS Johnson & Johnson Pharmaceutical Research & Development, L.L.C., Raritan, NJ, 08869, USA
- SO Bioorganic & Medicinal Chemistry Letters (2006), 16(13), 3362-3366 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier B.V.
- DT Journal
- LA English
- OS CASREACT 145:137266

GΙ

Т

AB A series of 1,3-disubstituted cyclohexylmethyl urea and amide derivs. were synthesized as motilin receptor antagonists. Starting from known motilin antagonist I the cyclopentene scaffold was replaced and the four recognition elements optimized to arrive at a potent novel series.

IT 373823-43-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cyclohexylmethyl urea and amide derivs. as motilin receptor antagonists)

RN 373823-43-9 CAPLUS

CN Acetamide, 2,2,2-trichloro-N-[(3-chlorophenyl)methyl]-N-[[3-[[3-[2-(4-morpholinyl)ethoxy]phenyl][(phenylamino)carbonyl]amino]cyclopentyl]methyl](CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:464826 CAPLUS Full-text

DN 144:488666

TI Preparation of quinoline, tetrahydroquinazoline, and pyrimidine derivatives as MCH antagonist for treatment of CNS disorders

IN Sekiguchi, Yoshinori; Kanuma, Yukihiro; Omodera, Katsunori; Busujima,
 Takeshi; Tran, Thuy-Ahn; Han, Sangdong; Casper, Martin; Brian, A. Kramer;
 Semple, Graeme; Zou, Ning

PA Taisho Pharmaceutical Co., Ltd., Japan; Arena Pharmaceutical Inc.

SO Jpn. Kokai Tokkyo Koho, 781 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PRAI JP 2004-287659 OS MARPAT 144:488666 20040930

Α

GΙ

$$(T)_{p} \xrightarrow{\mathbb{R}^{2}} (T)_{p} \xrightarrow{\mathbb{R}^{2}} (T)_$$

AB Title compds. [I, II, III; wherein R1 = (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un) substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un)substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; <math>Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof] were prepared as antagonists of melanin concentrating hormone (MCH), an endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca2+ concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide (IV) •TFA. The latter demonstrated MCH antagonist activity with an IC50 value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data).

IT 771545-73-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinolines, quinazolines, and pyrimidines as melanin-concentrating hormone antagonist for treatment of CNS disorders)

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RN 771545-73-4 CAPLUS
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CN Carbamic acid, [(1R,3S)-3-[[[(2-chloro-3-pyridinyl)carbonyl]amino]methyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L6 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
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AN 2006:301787 CAPLUS Full-text

DN 144:350698

TI Preparation of benzoxazine derivatives as modulators of chemokine receptors for treatment of inflammation and immunoregulatory diseases

IN Goble, Stephen D.; Mills, Sander G.; Yang, Lihu; Pasternak, Alexander;
Bonnefous, Celine; Kamenecka, Theodore M.; Vernier, Jean-Michel;
Hutchinson, John H.; Hu, Essa; Govek, Steven

PA USA

SO U.S. Pat. Appl. Publ., 94 pp., Cont.-in-part of Appl. No. PCT/US04/011281. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

L MIV.	PATENT NO.					KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
PI	US 2	2006	0069	088		A1	_	2006	0330		US 2	005-	 1295	 12		2	0050	513
	WO 2	2004	0921.	24		A2		2004	1028	•	WO 2	004-1	US11	281		2	0040	408
	WO 2	2004	0921	24		А3		2005	0414									
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			ΤJ,	TM,	TN,	TR,	ΤΤ,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
			BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
			ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,
			SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
			TD,	ΤG														
PRAI	US 2	2003-	-463	111P		P		2003	0415									
	WO 2004-US11281					A2		2004	0408									
OS																		

GΙ

$$\begin{array}{c}
R^{3} \\
R^{4} \\
R^{6}
\end{array}$$

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R^{5} \\
N \\
R^{1}
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R^{2} \\
R^{7}
\end{array}$$

$$\begin{array}{c}
R^{2} \\
R^{7}
\end{array}$$

$$\begin{array}{c}
F^{7} \\
I$$

$$\begin{array}{c}
F^{7} \\
I
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$$\begin{array}{c}
F^{7} \\
I$$

$$\begin{array}{c}
F^{7} \\
I
\end{array}$$

AB Title benzoxazine derivs. I [wherein X = C, N, O, or S; Y = O, S, SO, SO2, or (un) substituted NH; Z = C or N; R1 = H, (un) substituted alkoxy(alkyl), alkylthio(alkyl), heterocyclyloxy(alkyl), etc.; R2 = halo, (un)substituted alkyl, alkoxy(alkyl), alkylthio(alkyl), etc.; R3 = H, (un)substituted phenyl(alkyl), cycloalkyl(alkyl), heterocyclyl(alkyl), etc.; R4 = OH, CN, alkoxyl, etc.; R5 and R6 = independently H, OH, halo, alkyl, alkoxyl, etc.; when Z = C, R7 = H, OH, halo, (un) substituted alkyl, alkoxy, etc.; when Z = N, R7 is nothing or oxide; R8 = H, alkyl, CF3, OCF3, halo, etc.; m and n = independently 0-2 wherein m + n = 0-3], or pharmaceutically acceptable salts or diastereomers thereof were prepared as modulators of CCR2 chemokine receptors. For example, II was prepared in a multi-step synthesis. The title compds. are useful as modulators of CCR-2 chemokine receptors for the prevention or treatment of inflammatory and immunoregulatory disorders and diseases, allergic diseases, atopic conditions including allergic rhinitis, dermatitis, conjunctivitis, and asthma, as well as autoimmune pathologies such as rheumatoid arthritis and atherosclerosis (no data).

IT 881493-31-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzoxazine derivs. as modulators of chemokine

receptors for treatment of inflammatory and immunoregulatory diseases)

RN 881493-31-8 CAPLUS

CN 2-Cyclopentene-1-carboxamide, N-[[2-(1,1-dimethylethoxy)-5-(trifluoromethyl)phenyl]methyl]-4-(2,5-dimethyl-1H-pyrrol-1-yl)-1-(1-methylethyl)-, (1S,4S)- (CA INDEX NAME)

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,

144:192101 DNPreparation of pyrrolidine derivatives as inhibitors of dipeptidyl ΤI peptidase IV Thomas, Abraham; Balasubramanian, Gopalan; Lingam, Prasada Rao V. S.; INShah, Daisy Manish Glenmark Pharmaceuticals Ltd., India PAPCT Int. Appl., 72 pp. SO CODEN: PIXXD2 DT Patent LA English FAN.CNT 1 PATENT NO. KIND APPLICATION NO. ______ WO 2006011035 20060202 WO 2005-IB2146 PΙ Α1 20050722 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2004-590602P P 20040723
IN 2004-MU807 A 20040729

OS CASREACT 144:192101; MARPAT 144:192101

OS GI

$$\mathbb{R}^{2} \times \mathbb{R}^{2}$$

$$\mathbb{R}^{2} \times \mathbb{R}^{2} \times \mathbb{R}^{2} \times \mathbb{R}^{2} \times \mathbb{R}^{2}$$

$$\mathbb{R}^{2} \times \mathbb{R}^{2} \times \mathbb{R}^{2}$$

The title pyrrolidine derivs. I [wherein m and n = independently 0-2; Y= CH2, CHF, CF2, S, SO, or SO2; X and Z = independently CO, O, S, SO, SO2, or (un)substituted NH; R1 = (un)substituted alkyl, alkenyl, alkynyl, aryl, heterocycyl, etc.; R2 = H, CN, CO2H, etc.], or analogs, tautomers, enantiomers, diastereomers, regioisomers, stereoisomers, polymorphs, N-oxides, pharmaceutically acceptable solvates, or salts thereof were prepared as dipeptidyl peptidase IV (DPP-IV) inhibitors. For example, II was prepared in a multi-step synthesis. The title compds. showed inhibitory activity with IC50 of 4.15-168.4 nM against human DPP-IV. The compds. are useful for the treatment and/or prophylaxis of DPP-IV associated diseases, such as diabetes, inflammatory bowel disease, ulcerative colitis, obesity, etc. (no data).

ΙT 874987-02-7P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrrolidine derivs. as inhibitors of DPP-

IV)

RN 874987-02-7 CAPLUS

Benzamide, N-[[3-[(2-((2S)-2-cyano-1-pyrrolidiny1)-2-CN oxoethyl]amino]cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 15 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN L6

ΑN 2005:1328611 CAPLUS Full-text

DN 144:69736

- Preparation of tetrahydropyranyl cyclopentylcarboxamide modulators of ΤI chemokine receptor activity
- Yang, Lihu; Mills, Sander G.; Jiao, Richard IN

CASREACT 144:69736; MARPAT 144:69736

- Merck & Co., Inc, USA PA
- SO PCT Int. Appl., 45 pp. CODEN: PIXXD2

Patent DT

English LA

FAN.	CNT 1 PATENT		KIN	D	DATE			APPL	ICAT	ION 1	NO.		D.	ATE			
PI	WO 200						 2005 2006		,	WO 2	005-	 US13	754		2	0050	 422
	W:	AE, CN, GE, LC, NI, SM, ZM,	AG, CO, GH, LK, NO, SY, ZW GH,	AL, CR, GM, LR, NZ, TJ,	AM, CU, HR, LS, OM, TM,	AT, CZ, HU, LT, PG, TN,	AU, DE, ID, LU, PH, TR,	AZ, DK, IL, LV, PL, TT,	DM, IN, MA, PT, TZ,	DZ, IS, MD, RO, UA,	EC, JP, MG, RU, UG,	EE, KE, MK, SC, US,	EG, KG, MN, SD, UZ,	ES, KM, MW, SE, VC,	FI, KP, MX, SG, VN,	GB, KR, MZ, SK, YU,	GD, KZ, NA, SL, ZA,
		RO,	SE,	SI,		TR,	GR, BF,										
	AU 200	52516	78 [.]	ŕ	A1		2005	1222		AU 2	005-	2516	78		2	0050	422
	CA 256	4499			A1		2005	1222	1	CA 2	005-	2564	499		2	0050	422
	EP 174:	2915			A2		2007	0117		EP 2	005-	7844	77		2	0050	422
	CN 1972913						2007			CN 2					_	0050	
	JP 2007534756				_		2007			JP 2			-			0050	
	IN 2006DN06022				A		2007			IN 2						0061	
PRAT	US 20080021061 AI US 2004-565380P				A1		2008 2004			US 2	006-	38 / Z	8 8		2	0061	∪∠3
LVYI	WO 200		W		2004												

$$R^9$$
 R^8
 R^7
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 R^7

AΒ Title compds. I [Y = O, S, SO2, (un) substituted amino, etc.; Z = C or N; R1 = sulfonylalkyl, alkylamino, sulfonylamino, etc.; R2 = H, OH, halo, alkyl, etc.; R3 = H, (fluoro)alkyl, hydroxy, etc.; ; R4 = H, (fluoro)alkyl, Ph, etc.; R5 = alkyl, alkoxy, pyridyl, etc.; R6 = H, alkyl, Ph, etc.; R7 = H or (un) substituted alkyl; R8 = H, OH, F, etc., or R7R8 = cyclyl; R9 = H, OH, (un) substituted alkyl, alkyloxy, etc., or R8R9 = cyclyl; R10 = H, F, cycloalkyloxy, (un)substituted alkyloxy, (fluoro)alkyl, or R8R10 = cyclyl; R15, R16 = independently H, OH, (un) substituted alkyl, etc.; n = 0-2] and their pharmaceutically acceptable salts were prepared and disclosed as modulators of chemokine receptor activity (no data). Thus, II was prepared by condensation of tetrahydro-4H-pyran-4-one with the corresponding amino cyclopentyl precursor (preparation given). These compds. are useful as modulators of the chemokine receptor for the prevention or treatment of certain inflammatory and immunoregulatory disorders, such as rheumatoid arthritis (no data).

IT 693246-51-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydropyranyl cyclopentylcarboxamide modulators of chemokine receptor activity)

RN 693246-51-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(1-methylethyl)sulfonyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (3R)- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:1050935 CAPLUS Full-text

DN 143:347048

TI Preparation of cyanopyrrolidine derivatives and pharmaceutical compositions thereof as inhibitors of dipeptidyl peptidase-iv (dpp-iv)

IN Madar, David J.; Djuric, Stevan W.; Michmerhuizen, Melissa J.; Kopecka,
 Hana A.; Li, Xiaofeng; Longenecker, Kenton L.; Pei, Zhonghua; Pireh,
 Daisy; Sham, Hing L.; Stewart, Kent D.; Szczepankiewicz, Bruce G.;
 Wiedeman, Paul E.; Yong, Hong

PA USA

SO U.S. Pat. Appl. Publ., 70 pp., Cont.-in-part of U.S. Ser. No. 788,993. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	API	PLICATION NO.	DATE
ΡΙ	US 20050215784	A1	20050929	US	2005-36258	20050113
	US 7238724	B2	20070703			
	US 20040121964	A1	20040624	US	2003-659860	20030911
	US 20040259843	A1	20041223	US	2004-788993	20040227
	US 7262207	B2	20070828			
	US 20070238753	A1	20071011	US	2007-757173	20070601
PRAI	US 2002-412084P	P	20020919			
	US 2003-659860	A2	20030911			
	US 2004-788993	A2	20040227			
	US 2005-36258	A3	20050113			
OS	CASREACT 143:347048;	MARPA'	T 143:347048			

GΙ

$$R^{1}$$
 R^{2}
 R^{3}
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Title compds. I [R1 = alkynyl or cyano; R2 and R3 independently = H, alkyl, alkenyl etc.; or R2 and R3 together form (un)substituted heterocycle; X = CH2, CHF, CF2], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of dipeptidyl peptidase IV (DPP-IV). Thus, e.g., II·HCl was prepared in a multistep synthesis from Me (S)-(+)-2-pyrrolidone-5-carboxylate. Ki values for DPP-IV assays of selected compds. ranged from 1-130 nM. And are useful for the prevention or treatment of diabetes, especially type II diabetes, as well as hyperglycemia, Syndrome X, hyperinsulinemia, obesity, atherosclerosis, and various immunomodulatory diseases.

IT 813433-87-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyanopyrrolidine derivs. and pharmaceutical compns. thereof as inhibitors of dipeptidyl peptidase-iv (dpp-iv))

RN 813433-87-3 CAPLUS

CN Cyclopentanecarboxamide, 3-[[2-[(2S,5R)-2-cyano-5-ethynyl-1-pyrrolidinyl]-2-oxoethyl]amino]-N-(2-pyridinylmethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:1016895 CAPLUS Full-text

DN 143:415586

TI G-Protein-Coupled Receptor Affinity Prediction Based on the Use of a Profiling Dataset: QSAR Design, Synthesis, and Experimental Validation

AU Rolland, Catherine; Gozalbes, Rafael; Nicolaie, Eric; Paugam, Marie-France; Coussy, Laurent; Barbosa, Frederique; Horvath, Dragos; Revah, Frederic

CS Cerep, Rueil-Malmaison, 92500, Fr.

SO Journal of Medicinal Chemistry (2005), 48(21), 6563-6574 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB A QSAR model accounting for "average" G-protein-coupled receptor (GPCR) binding was built from a large set of exptl. standardized binding data (1939 compds. systematically tested over 40 different GPCRs) and applied to the design of a library of "GPCR-predicted" compds. Three hundred and sixty of these compds. were randomly selected and tested in 21 GPCR binding assays. Positives were defined by their ability to inhibit by more than 70% the binding of reference compds. at 10 µM. A 5.5-fold enrichment in positives was observed when comparing the "GPCR-predicted" compds. with 600 randomly selected compds. predicted as "non-GPCR" from a general collection. The model was efficient in predicting strongest binders, since enrichment was greater for higher cutoffs. Significant enrichment was also observed for peptidic GPCRs and receptors not included to develop the QSAR model, suggesting the usefulness of the model to design ligands binding with newly identified GPCRs, including orphan ones.

IT 868056-86-4

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(QSAR design, synthesis, and exptl. validation of G-protein-coupled receptor affinity prediction based on use of a profiling dataset)

RN 868056-86-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-methyl-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)- (CA INDEX NAME)

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 18 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN L6

AN 2005:696675 CAPLUS Full-text

143:193909 DN

ΤI Preparation of 2,6-disubstituted piperidines as modulators of chemokine receptors

IN Yang, Lihu; Mills, Sander G.; Zhou, Changyou; Goble, Stephen D.; Pasternak, Alexander

PA Merck & Co., Inc., USA

PCT Int. Appl., 65 pp. SO CODEN: PIXXD2

Patent DT

LA English

FAN.	CNT 1 PATE	L ENT NO		KIN	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE		
ΡI		2005070 2005070					2005 2005		1	WO 2	005-	us77	0		2	0050	114
		W: AI							BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			i, co,	,		,			,	•	•	•	,		,	,	,
			G, GH,														
		LI	K, LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		No), NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		T	Г, ТМ,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW: BI											•				
		A:	Z, BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			E, ES,	,					,				•		,	,	,
			, SE,				BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML ,
	_		R, NE,	,	,										_		
		200520					2005		-						_	0050	
	-	2553242					2005									0050	
	EP 1	L732552	2		A2		2006	1220		EP 2	005-	7113.	38		2	0050	114
		R: A	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		I	5, IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	LV	
	CN 1	L90990		Α		2007	0207	(CN 2	005-	8000	2715		2	0050	114	
	JP 2	2007518	3799		Τ		2007	0712		JP 2	006-	5511.	25		2	0050	114
	IN 2	2006DN	3835		Α		2007	0427		IN 2	006-	DN38.	35		2	0000	704

US 20070179158 Α1 20070802 US 2006-586765 20060720 US 7410961 В2 20080812 PRAI US 2004-537732P Ρ 20040120 WO 2005-US770 W 20050114 OS CASREACT 143:193909; MARPAT 143:193909 GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [R1 = H, OH, CN, etc.; R2 = H, (un)substituted alkyl orAΒ alkoxy; R3 = H, halo, OH, etc. when Y is C or R3 is oxygen or absent when Y is N; R4 = H, trifluoromethyl, trifluoromethoxy, etc.; R5 = (un)substituted alkyl, alkoxy, thioalkyl, etc.; R6 = H, alkyl, chloro, etc.; R7 = nothing when X is O, S, or SO2 or R7 = H, alkylphenyl, alkylheterocycle, etc. when X is Cor N; R8 = H, OH, alkyl, etc. when X is C or R8 = nothing when X is O, S, SO2, etc. or R7 and R8 together form a ring selected from (un)substituted 1Hindene, 2,3-dihydro-1H-indene, 2,3-dihydro-benzofuran, etc.; R9 and R10 independently = H, OH, alkyl, etc. or R7 and R9, or R8 and R10 together form (un) substituted Ph or heterocycle; R11, R13, R14 and R15 independently = H, OH, alkyl, etc.; R12 and R16 independently = OH, (un)substituted alkoxy, alkylhydroxy, etc. or R12 and R16 together form a bridge consisting of (un) substituted alkyl or alkyl-O-alkyl; R17 = H, (un) substituted Ph or alkyl or R2 and R17 together form a heterocycle; Q = (CH2)n; X = C, N, O, etc.; Y =N or C; Z = (CH2)0-1; n = 0-2] and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of chemokine receptors. Thus, e.g., II was prepared by Grignard reaction of N-carbethoxy-4-tropinone with Ph magnesium bromide followed by dehydration/hydrogenation/decarboxylation sequence and subsequent coupling with III (preparation given). The binding activity of I towards the CCR-2 receptor was evaluated and it was revealed that compds. of the invention are useful modulators of chemokine receptor activity (data given). I as modulator of chemokine receptors should prove useful in the treatment of rheumatoid arthritis. Pharmaceutical compns. comprising I are disclosed.

IT 861853-57-8P

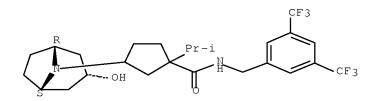
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,6-disubstituted piperidines as modulators of chemokine receptors)

RN 861853-57-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-endo)-3-hydroxy-8-azabicyclo[3.2.1]oct-8-yl]-1-(1-methylethyl)- (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- 2005:673016 CAPLUS <u>Full-text</u> AN
- DN 143:172854
- Alkylamino, arylamino, and sulfonamido cyclopentane amide modulators of ΤI chemokine receptor activity
- Goble, Stephen D.; Yang, Lihu; Zhou, Changyou; Kothandaraman, Shankaran; ΙN Guiadeen, Deodialsingh; Butora, Gabor; Pasternak, Alexander; Mills, Sander
- PΑ Merck & Co., Inc., USA
- PCT Int. Appl., 111 pp.
- CODEN: PIXXD2
- DTPatent
- LA English FAN.CNT 1

GΙ

FAN.	CNT	1																
		TENT						DATE			APPL	ICAT	ION 1	NO.			ATE	
ΡI	WO	2005	0675	02		A2		2005	0728		WO 2	004-	us43	777			0041	
	WO	2005	0675	02		A3		2005	0915									
		W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	KΖ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,
			ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,
			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
			MR,	NE,	SN,	TD,	ΤG											
	AU	2004	3134	86		A1		2005	0728		AU 2	004-	3134	86		2	0041	229
	CA	2551	869			A1		2005	0728		CA 2	004-	2551	869		2	0041	229
	EP	1701	724			A2		2006	0920		EP 2	004-	8157	79		2	0041	229
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	IS	
		1897						2007								_	0041	229
	JΡ	2007	5196	33		Τ		2007	0719		JP 2	006-	5475.	21		2	0041	229
	IN	2006	DN03	272		Α		2007	0420		IN 2	006-	DN32	72		2	0060	607
	US	2007	0117	797		A1		2007	0524		US 2	006-	5852	32		2	0060	630
PRAI	US	2004	-533	892P		P		2004	0102									
	WO	2004	-US4	3777		W		2004	1229									
OS	CA:	SREAC	T 14	3:17	2854	; MA	RPAI	143	:1728	854								

AB Title compds. I [Z = N, C, where no more than two Z are N; R1 = OH, CN,(un) substituted alkyl/alkyl, Ph, etc.; when Z attached to R2 is N, R2 = absent or O; and when Z attached to R2 is C, R2 = H, (un)substituted alkyl, alkoxy; when Z attached to R3 is N, R3 = absent or O; and when Z attached to R3 is C, R3 = H, OH, halo, (un)substituted alkyl, etc.; when Z attached to R4 is N, R4 = absent or O; and when Z attached to R2 is C, R2 = H, (un)substituted alkyl, alkoxy; R5 = (un)substituted alkyl, alkylcarbonyl, Ph, etc.; when Z attached to R6 is N, R4 = absent or O; and when Z attached to R6 is C, R6 = H, (un) substituted alkyl, alkoxy; R7 = H, (un) substituted alkyl, Ph, heterocyclyl; R8 = (un)substituted alkyl, Ph, pyridyl, etc.; R10, R16 = independently (:0), H, Ph, (un)substituted alkyl; R15 = H, alkyl; or R2 and R15 join together to form a carbocycle or heterocycle; X = (CH2)n; n = 0-1; and their pharmaceutically acceptable salts and individual diastereomers] were prepared as chemokine receptor, particularly CCR2, modulators. For example, II was prepared in 3 steps starting from 3-trifluoromethyl-5,6,7,8-tetrahydro-1,6-naphthyridine (preparation given). I bound to CCR2 receptor in a binding and chemotaxis assay with an IC50 of less than about 1 μM . The invention is directed to the pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which chemokine receptors are involved, such as inflammatory and immunoregulatory disorders, allergic diseases, atopic conditions, rheumatoid arthritis, etc. (no data).

ΙI

IT 860796-11-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzylamino

N-(tetrahydronaphthyridinyl) cyclopentane amide modulators of chemokine receptor activity)

RN 860796-11-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(4-fluorophenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:141023 CAPLUS Full-text

DN 142:240424

TI Preparation of (thiazolyl)cyclopentane amide modulators of chemokine receptor activity

IN Butora, Gabor; Yang, Lihu; Goble, Stephen D.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PA:	rent :	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
ΡI		2005 2005						2005 2005			——— WO 2	004-	 US25	467		2	0040	806
		₩:	AE, CN, GE, LK, NO, TJ, BW, AZ, EE,	AG, CO, GH, LR, NZ, TM, GH, BY,	AL, CR, GM, LS, OM, TN, GM, KG,	AM, CU, HR, LT, PG, TR, KE, KZ,	AT, CZ, HU, LU, PH, TT, LS, MD, GB,	AU, DE, ID, LV, PL, TZ, MW, RU, GR,	AZ, DK, IL, MA, PT, UA, MZ, TJ,	DM, IN, MD, RO, UG, NA, TM, IE,	DZ, IS, MG, RU, US, SD, AT, IT,	EC, JP, MK, SC, UZ, SL, BE, LU,	EE, KE, MN, SD, VC, SZ, BG, MC,	EG, KG, MW, SE, VN, TZ, CH, NL,	ES, KP, MX, SG, YU, UG, CY, PL,	FI, KR, MZ, SK, ZA, ZM, CZ, PT,	GB, KZ, NA, SL, ZM, ZW, DE, RO,	GD, LC, NI, SY, ZW AM, DK, SE,
	SI, SK, TR SN, TD, TG AU 2004263509 CA 2534294 EP 1654256 R: AT, BE, CH IE, SI, LT CN 1832943 JP 2007501795 IN 2006DN00519 US 20060205783 I US 2003-493902P WO 2004-US25467			CH, LT,	A1 A2 DE, LV, A T A A1 P	DK, FI,	RO, 2006 2007 2007 2006 2003 2004	0217 0510 FR, CY, 0913 0201 0810 0914 0808 0806	GB, TR,	CA 2 EP 2 GR, BG, CN 2 JP 2 IN 2	004- 004- IT, CZ, 004- 006- 006-	2534 7803 LI, EE, 8002 5227 DN51	294 22 LU, HU, 2756 56	NL, PL,	2 2 SE, SK 2 2	0040: 0040: MC, 0040: 0040: 0060:	806 806 PT, 806 806 131	
OS GI						; MA.	RPAT	142	:240	424								

AB Title compds. I [wherein Z = independently C or N; R1 = (alkoxy)alkyl, alkylthioalkyl, hydroxy, etc.; R2-R4, R6 = independently H, OH, alkyl, halo, etc.; R5 = (carbonyl)alkyl, CF3, halo, etc.; R7, R9 = independently H, Ph, alkyl, etc.; R8 = H, Ph, alkyl, etc.; R10 = (un)substituted tetrahydropyranyl-4-ylamino, azacyclohept-1-yl, azacyclooct-1-yl; and pharmaceutically acceptable salts or solvates thereof and individual diastereomers thereof] are prepd as chemokine receptor modulators (no data). For example, II was given in a multi-step synthesis starting from 2,6-dichloro-4-trifluoromethylpyridine. The invention is directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. as chemokine receptor modulators in the prevention or treatment of the diseases in which chemokine receptors are involved, such as inflammatory and immunoregulatory disorders, and rheumatoid arthritis (no data).

IT 844639-98-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of N-pyridinylmethyl (thiazolyl)cyclopentane amide modulators of chemokine receptor activity)

RN 844639-98-1 CAPLUS

CN Carbamic acid, [4-[3-(hexahydro-1(2H)-azocinyl)-1-[[[[5-(trifluoromethyl)-3-pyridinyl]methyl]amino]carbonyl]cyclopentyl]-2-thiazolyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:99600 CAPLUS Full-text

DN 142:198060

TI Preparation of 7 and 8 membered heterocyclic cyclopentyl benzylamide

derivatives as modulators of chemokine receptor activity ΙN Ge, Min; Goble, Stephen D.; Pasternak, Alexander; Yang, Lihu PAMerck & Co., Inc., USA SO PCT Int. Appl., 58 pp. CODEN: PIXXD2 DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE WO 2004-US21996 PΙ WO 2005010154 Α2 20050203 20040709 WO 2005010154 А3 20050825 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004259416 20050203 AU 2004-259416 20040709 Α1 CA 2532102 20050203 CA 2004-2532102 Α1 20040709 EP 1646392 EP 2004-777832 Α2 20060419 20040709 CN 1871012 Α 20061129 CN 2004-80020467 20040709 JP 2007523871 Τ 20040709 20070823 JP 2006-520232 IN 2005DN06171 Α 20080509 IN 2005-DN6171 20051230 US 20060183731 US 2006-564702 Α1 20060817 20060113 PRAI US 2003-487317P Ρ 20030715 WO 2004-US21996 W 20040709

CASREACT 142:198060; MARPAT 142:198060

OS

GΙ

AΒ

N-benzylheterocyclylcyclopentanecarboxamide derivs. of the formula (I) and pharmaceutically acceptable salts thereof and individual diastereomers thereof

[X = O, N, S, SO2, C; R1 = H, C1-6 alkyl, -C0-6alkyl-O-C1-6alkyl, -C0-6 alkyl-S-C1-6-alkyl, - (C0-6-alkyl)(C3-7cycloalkyl)(C0-6alkyl), HO, heterocyclyl, cyano, etc.; R2, R4, R6 = H, each (un)substituted C1-3 alkyl or -O-C1-3alkyl, HO, Cl, F, Br, Ph; R3 = H, HO, halo, each (un)substituted C1-3 alkyl or NH2, etc.; R5 = each (un)substituted C1-6 alkyl, -O-C1-6alkyl, -C0-C1-6alkyl, -S-C1-6alkyl, or 1-pyridyl, F, C1, Br, (un)substituted -C4-6 cycloalkyl, etc.; R7 = H, (C0-6-alkyl)phenyl, (C0-6alkyl)heterocycle, (C0-6-alkyl)-C3-7cycloalkyl, etc.; R8 = H, nothing (when X is either O, S, SO2, or N or when a double bond joins the carbons to which R7 and R10 are attached), H0, C1-6 alkyl, C1-6alkylhydroxy, -O-C1-3alkyl, (un)substituted CONH2, cyano; or where R7 and R8 may be joined together to form a ring such as 1H-indene, 2,3-dihydro-1Hindene, etc.; or R7 and R9 or R8 and R10 may be joined together to form an (un) substituted Ph or heterocycle ring; R9, R10 = H, HO, hydroxy, C1-6 alkyl, C1-6 alkylhydroxy, -0-C1-3 alkyl, oxo (when R9 or R10 is connected to the ring via a double bond), halo, etc.; R16 = H, Ph, (un)substituted C1-6alkyl; the dashed line represents a single or a double bond] are prepared These compds. are useful as modulators of chemokine receptor, in particular chemokine receptor CCR-2, for treating, ameliorating, controlling or reducing the risk of an inflammatory and immunoregulatory disorder or disease, in particular rheumatoid arthritis. Thus, reductive amination of 1-[2-[N-(tertbutoxycarbonyl)amino]thiazol-4-yl]-3- oxocyclopentane-1-carboxylic acid Et ester by hexamethyleneimine and NaBH(OAc)2 in THF followed by alkali hydrolysis and acidification with AcOH gave 3-(Azepan-1-yl)-1-[2-[N-(tertbutoxycarbonyl)amino]thiazol-4- yl]cyclopentane-1-carboxylic acid which underwent amidation with 3-fluoro-5-(trifluoromethyl)benzylamine using 1ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in the presence of 4-Dimethylaminopyridine and diisopropylethylamine in CH2Cl2, followed by Ndeprotection with CF3CO2H and N-acetylation with acetic anhydride to give N-[3-fluoro-5-(trifluoromethyl)benzyl]-3-(azepan-1-yl)-1-[2-(acetylamino)thiazol-4-yl]cyclopentane-1-carboxamide (II).

IT 835916-80-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of N-benzylheterocyclylcyclopentanecarboxamide derivs. as modulators of chemokine receptor for treating, ameliorating, controlling, or reducing risk of inflammatory and immunoregulatory disorder or disease)

RN 835916-80-8 CAPLUS

CN Carbamic acid, [4-[1-[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(hexahydro-1H-azepin-1-yl)cyclopentyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} F3C \\ CH2 \\ NH \\ C \\ OBu-t \end{array}$$

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2004:1127082 CAPLUS Full-text
- DN 142:74441
- TI Preparation of N-aminoacyl pyrrolidine-2-carbonitriles and related compounds as inhibitors of dipeptidyl peptidase-IV (DPP-IV) useful against type II diabetes and other disorders
- IN Madar, David J.; Djuric, Stevan W.; Michmerhuizen, Melissa J.; Kopecka,
 Hana A.; Li, Xiaofeng; Longenecker, Kenton L.; Pei, Zhonghua; Pireh,
 Daisy; Sham, Hing L.; Stewart, Kent D.; Szczepankiewicz, Bruce G.;
 Wiedeman, Paul E.; Yong, Hong
- PA Abbott Laboratories, USA
- SO U.S. Pat. Appl. Publ., 66 pp., Cont.-in-part of U.S. Ser. No. 659,860. CODEN: USXXCO
- DT Patent
- LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 20040259843	A1	20041223	US 2004-788993	20040227
	US 7262207	B2	20070828		
	US 20040121964	A1	20040624	US 2003-659860	20030911
	US 20050215784	A1	20050929	US 2005-36258	20050113
	US 7238724	B2	20070703		
	US 20070238753	A1	20071011	US 2007-757173	20070601
	US 20070265302	A1	20071115	US 2007-828099	20070725
PRAI	US 2002-412084P	P	20020919		
	US 2003-659860	A2	20030911		
	US 2004-788993	A2	20040227		
	US 2005-36258	A3	20050113		
OS	MARPAT 142:74441				
GI					

Ι

The present invention relates to N-aminoacyl pyrrolidine-2-carbonitriles and related compds. (shown as I; variables defined below; e.g. II) that inhibit dipeptidyl peptidase IV (DPP-IV) and are useful for the prevention or treatment of diabetes, especially type II diabetes, as well as hyperglycemia, Syndrome X, hyperinsulinemia, obesity, atherosclerosis, and various immunomodulatory diseases (no data). Compds. I inhibit DPP-IV induced fluorescence with inhibitory consts. $0.014-7~\mu\text{M}$. Although the methods of preparation are not claimed, >100 example prepns. are included. E.g., a 9-step synthesis of II, starting from Me (S)-(+)-2-pyrrolidone-5-carboxylate, was given. For I: X = CH2, CHF and CF2; R = alkylcarbonyl, arylcarbonyl, cyano, heterocyclylcarbonyl, R4R5NC(O)-, B(OR6)2, 1,3,2-dioxaborolane and 4,4,5,5-tetramethyl-1,3,2-dioxaborolane; R1 = alkoxyalkyl, alkyl, alkylcarbonyl, alkenyl, alkynyl, allenyl, arylalkyl, cycloalkyl,

cycloalkylalkyl, cyano, haloalkyl, haloalkenyl, heterocyclylalkyl, and hydroxyalkyl. R2 and R3 = H, alkoxyalkyl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, heterocyclealkyl, hydroxyalkyl; or R2 and R3 taken together with the atoms to which they are attached form a mono or bicyclic heterocycle 2-indolinyl, 2-indolyl, 3isoquinolinyl, 2-piperazinyl, 2-piperidinyl, 2-pyrrolidinyl, 2-pyrrolyl, 2pyridinyl, 2-quinolinyl, 2-tetrahydroquinolinyl, and 3tetrahydroisoquinolinyl, wherein said heterocycle may be substituted with 0-3alkenyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, alkoxycarbonylalkyl, alkyl, alkylcarbonyl, alkylcarbonylalkyl, alkylcarbonyloxy, alkylsulfonyl, alkylthio, alkynyl, aryl, arylalkoxy, arylalkyl, arylcarbonyl, aryloxy, carboxy, carboxyalkyl, cyano, cyanoalkyl, formyl, halogen, haloalkyl, hydroxy, hydroxyalkyl, mercapto, nitro, Ph, RARBN-, RcRDNC(O)-, and RcRDNS(O)2-. R4, R5 and R6 = H, alkyl, and arylalkyl; RA and RB = alkyl, alkylcarbonyl, alkoxycarbonyl, alkylsulfonyl; or RA and RB taken together with the N to which they are attached form a ring piperidine, piperazine and morpholine; and RC and RD = H and alkyl.

IT 813433-87-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-aminoacyl pyrrolidine-2-carbonitriles and related compds. as inhibitors of dipeptidyl peptidase-IV useful against type II diabetes and other disorders)

RN 813433-87-3 CAPLUS

CN Cyclopentanecarboxamide, 3-[[2-[(2S,5R)-2-cyano-5-ethynyl-1-pyrrolidinyl]-2-oxoethyl]amino]-N-(2-pyridinylmethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:1124588 CAPLUS Full-text

DN 142:69197

TI CCR-2 antagonists for treatment of neuropathic pain

IN Abbadie, Catherine; Lindia, Jill Ann; Wang, Hao

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 304 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

L F	ZTA • (TAT																	
		PAT	ΓΕΝΤ	NO.			KIN	D	DATE		-	APPL	ICAT	ION :	NO.		D	ATE	
ΡI	Ε	WO	2004	1103	76		A2	_	2004	1223	•	WO 2	004-	 US17	 499		2	0040	602
		WO 2004110376				А3		2005	0224										
			W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
				CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
				GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
				LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,

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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     US 20060205761
                                20060914
                                            US 2005-559701
                          Α1
                                                                    20051206
PRAI US 2003-476391P
                          Ρ
                                20030606
                          Ρ
     US 2003-531637P
                                20031222
     WO 2004-US17499
                          W
                                20040602
    MARPAT 142:69197
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OS

The invention is directed to methods of treating neuropathic pain and other AΒ neuropathic diseases and conditions with CCR-2 antagonists and pharmaceutical composition containing CCR-2 antagonists.

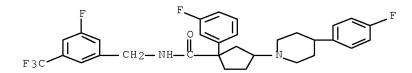
ΙT 690653-28-2

RL: PRPH (Prophetic)

(CCR-2 antagonists for treatment of neuropathic pain)

RN 690653-28-2 CAPLUS

Cyclopentanecarboxamide, 1-(3-fluoropheny1)-3-[4-(4-fluoropheny1)-1-CN piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ΑN 2004:875032 CAPLUS Full-text

DN 141:350191

Preparation of quinoline, tetrahydroquinazoline, and pyrimidine ΤI derivatives as MCH antagonist for treatment of CNS disorders

Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Busujima, INTsuyoshi; Tran, Thuy-Anh; Han, Sangdon; Casper, Martin; Kramer, Bryan A.; Semple, Graeme; Zou, Ning

Taisho Pharmaceutical Co. Ltd., Japan PA

Eur. Pat. Appl., 586 pp. SO

CODEN: EPXXDW

DTPatent

LA English

EDM CMT 3

FAN.	$^{\sim}$ IN T	3																	
	PAT	TENT	NO.			KINI	D	DATE			APPL	ICAT	ION 1	NO.		D.	ATE		
							_									_			
ΡI	EP	1464	335			A2		2004	1006		EP 2	004-	7651			2	0040	330	
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK	
	IE, SI, L EP 1464335					A2		2004	1006		EP 2	004-	7651			2	0040	330	
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK	
PRAI	US	2003	-458	530P		P		2003	0331										
	US					P		2003	0819										
	US	2003	-510	186P		P		2003	1009										

US 2003-530360P P 20031216 EP 2004-7651 A 20040330

GΙ

$$(T)_{p} = (T)_{p} = (T)_$$

AΒ Title compds. I, II, and III [wherein R1 = (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un) substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un)substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; <math>Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof] were prepared as antagonists of melanin concentrating hormone (MCH), an endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca2+ concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide IV●TFA. The latter demonstrated MCH antagonist activity with an IC50 value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data). [This abstract record is one of 3 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.] ΙT 771545-73-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(intermediate; preparation of quinolines, quinazolines, and pyrimidines as melanin-concentrating hormone antagonist for treatment of CNS disorders)

RN 771545-73-4 CAPLUS

CN Carbamic acid, [(1R,3S)-3-[[[(2-chloro-3-pyridinyl)carbonyl]amino]methyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L6 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2004:857578 CAPLUS Full-text
- DN 141:350189
- TI Preparation of novel quinazolines as MCH receptor antagonists
- IN Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Busujima, Tsuyoshi; Tran, Thuy-Anh; Han, Sangdon; Casper, Martin; Kramer, Bryan A.
- PA Taisho Pharmaceutical Co., Ltd., Japan; Arena Pharmaceuticals Inc.
- SO PCT Int. Appl., 363 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.	CNT 1	.1															
	PATENT	NO.			KIN	D	DATE			APPL:	ICAT	ION I	NO.		D.	ATE	
ΡI	WO 200	40876	80		A1		2004	1014	,	WO 2	004-	JP45.	54		2	0040	330
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,
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		ТJ,	TM,	TN,	TR,	TΤ,	${\sf TZ}$,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW	: BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	${\sf TZ}$,	UG,	ZM,	ZW,	AM,	ΑZ,
		BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
		ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML_{\prime}	MR,	ΝE,	SN,
		TD,															
	EP 161	1109			A1		2006	0104		EP 2	004-	7244:	24		2	0040	330
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		IE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK
	CN 179.							0628								0040	
	JP 200				_			0928								0040	330
	US 200							0111		US 2	005-	5514	31		2	0050	824
PRAI	US 200	3-458	424P		Ρ		2003										
	WO 200				W		2004	0330									
OS	MARPAT	141:	3501	89													
GI																	

- AΒ The title compds. QLYR1 [I; Q = (un) substituted 2-quinazolinyl; R1 = (un)substituted alkyl, cycloalkyl, aryl, etc.; L = II, III (wherein R5, R6 = H, alkyl; A, B = a bond, CH2, (CH2)2), etc.; Y = (un)substituted CONH, CSNH, C(0)0, SO2, etc.] which act as MCH receptor antagonists, were prepared E.g., a multi-step synthesis of 1-(3,4-dimethoxyphenyl)-3-[cis-4-(4dimethylaminoquinazolin-2-ylamino)cyclohexyl]-urea hydrochloride (starting from quinazoline-2,4-dione) which showed IC50 of 13 nM against MCH receptor binding, was given. The compds. I are useful in pharmaceutical compns. (claimed) which use includes prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders and dyskinesias including Parkinson's disease, epilepsy, and addiction.
- IT 774208-61-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel quinazolines as MCH receptor antagonists)

- RN 774208-61-6 CAPLUS
- CN Benzamide, 3,4-dichloro-N-[[(1R,3S)-3-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2004:799448 CAPLUS Full-text
- DN 141:314341
- TI Preparation of (tetrahydropyranylamino)cyclopentanecarbonyl-substituted fused azaheterocycles as modulators of cytokine receptors such as CCR2
- IN Goble, Stephen D.; Pasternak, Alexander; Mills, Sander G.; Zhou, Changyou; Yang, Lihu
- PA Merck & Co. Inc., USA
- SO PCT Int. Appl., 142 pp. CODEN: PIXXD2
- DT Patent
- LA English

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FAN.CNT 1
    PATENT NO.
                      KIND DATE
                                         APPLICATION NO. DATE
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PΙ
    WO 2004082616
                       Α2
                               20040930
                                         WO 2004-US7831
                                                                20040312
    WO 2004082616
                        AЗ
                               20050421
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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            SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
            TD, TG
                               20040930
    AU 2004222341
                        Α1
                                          AU 2004-222341
                                                                20040312
    CA 2519297
                        Α1
                               20040930
                                          CA 2004-2519297
                                                                20040312
    EP 1606280
                                          EP 2004-720505
                        Α2
                               20051221
                                                                20040312
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
                             20060830 CN 2004-80013280 20040312
    CN 1826334
                       Α
    JP 2007524590
                        Τ
                              20070830 JP 2006-507192
                                                                20040312
    IN 2005DN04099
                             20070831 IN 2005-DN4099
                                                                20050912
                       A
                                        US 2005-550111 20050919
                       A1 20060810
    US 20060178363
                            20080701
                        В2
    US 7393844
PRAI US 2003-456046P P 20030318
WO 2004-US7831 A 20040312
    MARPAT 141:314341
OS
GΙ
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Compds. I [A = R82C, C(:0), NR8, O; B = R22C, O, S(:0), S02, NS02R14,AΒ NC(:O)R13, NC(:O)NR122, C(:O); D, X = C, N; E = (CH2)n; G = CH:CH, CH2CH2; Y = CHO, R12N, S, S(:O), SO2, R112C, etc.; n = 0-2; R1 = H, NC, (un)substituted alkyl, heterocyclyl, Ph, R122N, R13C(:0)N(R12), R14S02N(R12), R11C(:0), R122NC(:0); R2 = H, alkyl, F, HO, heterocyclyl, R13C(:0)NH, etc.; R3, R4 = absent, H, (un) substituted alkyl, HO, Cl, O, etc.; R5 = (un) substituted alkyl, alkoxy, alkylcarbonyl, alkylthio, pyridyl, etc.; R8 = H, alkyl, (un) substituted alkylcarbonylalkyl; R11 = HO, H, (un) substituted alkyl, alkoxy, cycloalkyl, benzyl, phenyl; R12 = H, (un)substituted alkyl, benzyl, Ph, cycloalkyl; R13 = H, (un)substituted alkyl, alkoxy, benzyl, Ph, cycloalkyl; R14 = H, HO, (un)substituted alkyl, benzyl, Ph, cycloalkyl; R15 = H, (un)substituted alkyl; R16 = H, (un)substituted alkyl, alkoxy, cycloalkyl, F, HO, etc.; R17 = H, HO, (un) substituted alkyl, alkoxy, R11C(:0); R18 = H, F, (un) substituted alkyl, cycloalkoxy, alkoxy; R16 and either R17 or R18 may be joined in a ring] such as II are prepared as modulators of cytokine receptors such as CCR2 for the treatment of inflammatory and immune system disorders such as rheumatoid arthritis. Coupling of (tert-butoxy)(trifluoromethyl)benzylamine III and nonracemic (tetrahydropyranylamino)cyclopentanecarboxylic acid IV followed by cleavage of the tert-Bu group, cyclocondensation with paraformaldehyde, and cleavage of the trifluoroacetamide yields II as its hydrochloride salt. III is prepared by nucleophilic substitution of 2-fluoro-5-(trifluoromethyl)benzonitrile with potassium tert-butoxide followed by hydrogenation of the nitrile moiety. IV is prepared by Boc protection of the amine moiety of V, benzylation of the

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

carboxylic acid group, cleavage of the Boc group, reductive amination of the amine with tetrahydropyran-4-one, trifluoroacetylation of the secondary amine, stereoselective alkylation of the ester with potassium bis(trimethylsilyl)amide and iso-Pr iodide, and hydrogenolysis of the benzyl ester; a second route to IV is also described. Compds. of the invention inhibit CCR2 with IC50 values of < 1 $\mu\rm M$ (no data).

IT 765297-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (tetrahydropyranylamino)cyclopentanecarbonyl-substituted fused azaheterocycles as modulators of cytokine receptors such as CCR2 for the treatment of inflammatory and immune system diseases such as rheumatoid arthritis)

RN 765297-58-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[2-(1,1-dimethylethoxy)-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:412913 CAPLUS <u>Full-text</u>

DN 140:406745

- TI A preparation of heteroarylpiperidine derivatives useful as modulators of chemokine receptor activity
- IN Goble, Stephen D.; Pasternak, Alexander; Yang, Lihu
- PA Merck & Co., Inc., USA
- SO PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

11111	PAT	ENT :	ΝΟ.			KIND		DATE			APPL	ICAT		DATE				
ΡI		2004041777 2004041777			A2 A3		20040521		,	WO 2	003-		20031024					
		₩:	AE,	AG,	AL,	AM,	AT,	AU, DK,	AZ,	,	,	,	,	,	,	,	,	,
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		RW:	,	,	,	,	,	US, MZ,	,	,	,	,	,	,		AM,	AZ,	BY,
			•	•	•	•	•	TM, IE,	•		•	•	•	•	•			•
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	CA 2502178							2004	0521		CA 2	003	20031024					

	ΑU	2003	2849	A1	2	0040	0607	Ž	AU 2	2003-	20031024							
	AU	2003	2849	В2	2	0090	0402											
	EP	1558	599		A2	20050803]	EP 2	2003-		20031024					
		R: AT, BE, CH,			DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
	JΡ	2006	5089	48		Τ	2	0060	0316		JP 2	2004-		20031024				
	US	2005	0250	A1	2	20051110 US 2005-528304								20050317				
	US	7491	737	В2	20090217													
PRAI	US	2002	-422	447P		P	2	002	1030									
	WO 2003-US34002					W	2	003	1024									
OS	MAF	RPAT	140:	4067	45													
GI																		

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to heteroarylpiperidine derivs. of formula I [wherein: R1 is H, C0-6alkyl-Y-(C1-6alkyl), or C0-6alkyl-Y-(C0-6alkyl)-(C3-7cycloalkyl)-(C0-6alkyl); R2 is (un)substituted alkyl-Ph or alkyl-heterocycle; R3 is (un)substituted alkyl-heterocycle; R4 is H, OH, or alkyl, etc.; R5 and R6 are independently selected from H, OH, alkyl, or alkylhydroxy, etc.; R7 is H, alkyl, benzyl, Ph, etc.; Y is a single bond, -O-, -S-, or -S(O)-, etc.; n = 0, 1], useful as modulators of chemokine receptor activity. In particular, these compds. are useful as modulators of the chemokine receptor CCR-2. For instance, cis-pyrimidine derivative II (CCR2 receptor binding IC50 < 1μM) was prepared via reductive amination of the prepared ketone intermediate III by 4-(5-pyrimidyl)piperidine•HCl in the presence of sodium triacetoxyborohydride, and subsequent isomer separation (example 1).

IT 690262-06-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of heteroarylpiperidine derivs. useful as modulators of chemokine receptor activity)

RN 690262-06-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(6-chloro-4-pyrimidinyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,3S)-rel-(CA INDEX NAME)

Relative stereochemistry.

$$C1 \xrightarrow{N} CF3$$

$$CF3$$

$$CF3$$

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN AN 2004:412749 CAPLUS Full-text

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DN
    140:423705
    A preparation of piperidinylcyclopentyl amide derivatives, useful as
    modulators of chemokine receptor activity
    Zhou, Changyou; Pasternak, Alexander; Yang, Lihu
IN
    Merck & Co., Inc., USA
PA
SO
    PCT Int. Appl., 100 pp.
    CODEN: PIXXD2
    Patent
DT
    English
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FAN.CNT 1
    PATENT NO.
                      KIND
                               DATE
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    AU 2003284188
                                          AU 2003-284188
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                                         JP 2004-550142
    JP 2006507301
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                                                                 20031024
    US 20060173013
                        A1
                              20060803
                                         US 2006-533337
                                                                 20060330
    US 7514431
                        В2
                              20090407
PRAI US 2002-422381P
                       W
                        Ρ
                              20021030
    WO 2003-US34099
                              20031024
    MARPAT 140:423705
OS
GΙ
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The invention relates to piperidinylcyclopentyl amide derivs. of formula I [wherein: X is -O-, -CH2O-, -CO2-, or -OC(O)-, etc.; W is (un)substituted Ph or heterocycle; Z is C, N, or O, wherein when Z is N, then R4 is absent, and when W is O, then both R3 and R4 are absent; n = 0-4; R1 is H, halo, trifluoromethyl, OH, alkyl, or CN, etc.; R2 is (un)substituted CO-6alkyl-(phenyl/heterocycle); R3 is (un)substituted CO-6alkyl-phenyl; R4 is H, OH, CN, or alkyl, etc.; R5 and R6 are independently selected from H, OH, alkyl, alkoxy, or oxo, etc.; R3 and R5 or R4 and R6 may be joined together to form (un)substituted ringl, useful as modulators of chemokine receptor activity. In particular, these compds. are useful as modulators of the chemokine receptor CCR-2. For instance, piperidinylcyclopentyl amide derivative II (CCR-2 receptor binding IC50 < 1 μ M) was prepared via amination of the obtained intermediate cyclopentanone derivative III by 4-(4-fluorophenyl)piperidine with a yield of 66% (example 1).
- IT 400771-18-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of piperidinylcyclopentyl amide derivs., useful

as modulators of chemokine receptor activity)

RN 400771-18-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1cyano-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$F_3$$
C F_3

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- 2004:412748 CAPLUS Full-text ΑN
- DN 140:423677
- Preparation of 3-(tetrahydropyranylamino)cyclopentanecarboxylic acid ΤI N-benzylamide derivatives and related compounds as modulators of chemokine receptor activity
- Butora, Gabor; Mills, Sander G.; Pasternak, Alexander; Shankaran, ΙN Kothandaraman; Yang, Lihu; Zhou, Changyou; Goble, Stephen D.
- PΑ Merck & Co., Inc., USA
- PCT Int. Appl., 261 pp. SO CODEN: PIXXD2

Patent DT

LA English

FAN.CNT 1

r Alv.		PATENT NO. KIND						DATE			APPL	_								
PI		2004041161 2004041161				A2								20031024						
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			GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KΖ,	LC,	LK,	LR,		
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,		
			PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,		
			TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
			KG,	KΖ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,		
			FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,		
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
	CA	2502			A1		20040521 20040607 20081218			CA 2	003-	2502	20031024							
	AU	2003	01		A1					AU 2	003-	-286701			200310		024			
	AU	2003	01		В2										20031024					
	EΡ	1558243				A2		2005	20050803		EP 2003-777911					21	0031	024		
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,		
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK			
	JΡ	2006	03		Τ		20060427			JP 2004-550126						20031024				
	US	2006								US 2005-533326						0050	502			
	US	7390	803			В2		2008	0624											

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PRAI US 2002-422451P P 20021030 WO 2003-US33972 W 20031024 OS MARPAT 140:423677

GI

$$\bigcap_{\mathbb{P}_{r-1}}^{\mathbb{H}}\bigcap_{\mathbb{C}_{F_3}}^{\mathbb{C}_{F_3}}$$

The title compds. (I) [wherein: X = O, NR20, S, SO, SO2, CR21R22, NSO2R20, AΒ NCOR20, NCO2R20, CR21CO2R20, CR21OCOR20, CO, OC(Me)20 (where R20 = H, C1-6 alkyl, benzyl, Ph, C3-6 cycloalkyl, etc.; R21, R22 = H, HO, C1-6 alkyl, C1-6 alkoxy, benzyl, Ph, C3-6 cycloalkyl, etc.); R1 = C1-6 alkyl, C1-6 alkoxy-C0-6 alkyl, C1-6 alkyl-S(0)0-2-C0-6-alkyl, N-(un)substituted C1-6 alkylaminosulfonyl-C0-6alkyl, -(C0-6 alkyl)(C3-7 cycloalkyl)(C0-6 alkyl), HO, CO2R20, heterocyclyl, cyano, NR20R26, NR26SO2R20, NR26COR21, OCOR20, Ph (where R26 = H, C1-6 alkyl, benzyl, Ph, etc.); R2, R4, R6 = H, C1-6 alkyl, CF3, CF30, Cl, Br, Ph; R3 = H, HO, halo, C1-6 alkyl, C1-6 alkoxy, , NR20R21, NR20C02R21, NR20CONR20OR21, NR20SO2NR20R21, NR20SO2R21, heterocyclyl, cyano, CONR20R21, CO2R20, NO2, SR20, SOR20, SO2R20, SO2NR20R21: R5 = C1-6 alkyl substituted with 1-6 F and optionally substituted with HO, C1-6 alkoxy or CO-C1-6 alkyl each substituted with 1-6 fluoro, C1-6 alkylthio, pyridyl, F, Cl, Br, Ph; R7 = H, C1-6 alkyl, CF3; R8, R9, R10 = H, (un)substituted C1-6 alkyl; or R7 and R8 or R8 and R9 may be joined together to form a ring; R11 = H, C1-6 alkyl, CF3; R27, R28 = oxo, H, Ph, (un) substituted C1-6 alkyl; R29, R30, R31 = H, Me, HO, CF3, MeO, CF30; or R29 and R9 are connected by a C1-3alkyl bridge; m, n = 0-2; the dashed line = a single or a double bond] and pharmaceutically acceptable salts thereof and individual diastereomers thereof are prepared These compds. are useful as modulators of the chemokine receptor CCR-2 for (a) treating, ameliorating or controlling or reducing the risk of an inflammatory or immunoregulatory disorder or disease or (b) treating, ameliorating or controlling rheumatoid arthritis (no data). Thus, reductive amination of N-[3,5-bis(trifluoromethyl)benzyl]-3-oxo-1- isopropylcyclopentane-1-carboxamide with 4-aminotetrahydro-4H-pyran hydrochloride using triacetoxyborohydride in the presence of diisopropylethylamine in CH2Cl2 at room temperature overnight gave 46% N-[3,5-bis(trifluoromethyl)benzyl]-3-(tetrahydro-4H-pyran-4-ylamino)oxo-1- isopropylcyclopentane-1-carboxamide (II).

IT 1055897-33-0

RL: PRPH (Prophetic)

(Preparation of 3-(tetrahydropyranylamino)cyclopentanecarboxylic acid N-benzylamide derivatives and related compounds as modulators of chemokine receptor activity)

RN 1055897-33-0 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-N-(phenylmethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:403790 CAPLUS Full-text

DN 141:140750

TI Conformationally restricted analogs of deoxynegamycin

AU Raju, B.; Anandan, Sampathkumar; Gu, Shihai; Herradura, Prudencio; O'Dowd, Hardwin; Kim, Bum; Gomez, Marcela; Hackbarth, Corinne; Wu, Charlotte; Wang, Wen; Yuan, Zhengyu; White, Richard; Trias, Joaquim; Patel, Dinesh V.

CS Vicuron Pharmaceuticals, Inc., Department of Chemistry, Fremont, CA, 94555, USA

SO Bioorganic & Medicinal Chemistry Letters (2004), 14(12), 3103-3107 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 141:140750

Deoxynegamycin is a protein synthesis inhibitor with activity against Gramneg. (GN) bacteria. A series of conformationally restricted analogs were synthesized to probe its bioactive conformation. Indeed, some of the constrained analogs were found to be equal or better than deoxynegamycin in protein synthesis assay (1b, IC50=8.2 μM ; 44, IC50=6.6 μM ; 35e2, IC50=1 μM). However, deoxynegamycin had the best in vitro whole cell antibacterial activity (Escherichia coli, MIC=4-16 $\mu\text{g/mL}$; Klebsiella pneumoniae, MIC=8 $\mu\text{g/mL}$) suggesting that other factors such as permeation may also be contributing to the overall whole cell activity. A new finding is that deoxynegamycin is efficacious in an E. coli murine septicemia model (ED50=4.8 mg/kg), providing further evidence of the favorable in vivo properties of this class of mols.

IT 551964-51-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, and antibacterial structure-activity relationship of conformationally restricted deoxynegamycin analogs)

RN 551964-51-3 CAPLUS

CN Cyclopentanepropanoic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]- β - [[(4-methoxyphenyl)methyl]amino]-, 1,1-dimethylethyl ester, (1S,3R)- (CA INDEX NAME)

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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STN INTERNATIONAL LOGOFF AT 15:45:15 ON 27 MAY 2009